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* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1          Web Page for STN Seminar Schedule - N. America
 NEWS  2  MAR 15  WPIDS/WPIX enhanced with new FRAGHITSTR display format
 NEWS  3  MAR 16  CASREACT coverage extended
 NEWS  4  MAR 20  MARPAT now updated daily
 NEWS  5  MAR 22  LWPI reloaded
 NEWS  6  MAR 30  RDISCLOSURE reloaded with enhancements
 NEWS  7  APR 02  JICST-EPLUS removed from database clusters and STN
 NEWS  8  APR 30  GENBANK reloaded and enhanced with Genome Project ID field
 NEWS  9  APR 30  CHEMCATS enhanced with 1.2 million new records
 NEWS 10  APR 30  CA/CAplus enhanced with 1870-1889 U.S. patent records
 NEWS 11  APR 30  INPADOC replaced by INPADOCDB on STN
 NEWS 12  MAY 01  New CAS web site launched
 NEWS 13  MAY 08  CA/CAplus Indian patent publication number format defined
 NEWS 14  MAY 14  RDISCLOSURE on STN Easy enhanced with new search and display
                  fields
 NEWS 15  MAY 21  BIOSIS reloaded and enhanced with archival data
 NEWS 16  MAY 21  TOXCENTER enhanced with BIOSIS reload
 NEWS 17  MAY 21  CA/CAplus enhanced with additional kind codes for German
                  patents
 NEWS 18  MAY 22  CA/CAplus enhanced with IPC reclassification in Japanese
                  patents
 NEWS 19  JUN 27  CA/CAplus enhanced with pre-1967 CAS Registry Numbers
 NEWS 20  JUN 29  STN Viewer now available
 NEWS 21  JUN 29  STN Express, Version 8.2, now available
 NEWS 22  JUL 02  LEMBASE coverage updated
 NEWS 23  JUL 02  LMEDLINE coverage updated
 NEWS 24  JUL 02  SCISEARCH enhanced with complete author names
 NEWS 25  JUL 02  CHEMCATS accession numbers revised
 NEWS 26  JUL 02  CA/CAplus enhanced with utility model patents from China
 NEWS 27  JUL 16  CAplus enhanced with French and German abstracts
 NEWS 28  JUL 18  CA/CAplus patent coverage enhanced
 NEWS 29  JUL 26  USPATFULL/USPAT2 enhanced with IPC reclassification

 NEWS EXPRESS  29 JUNE 2007:  CURRENT WINDOWS VERSION IS V8.2,
               CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 05 JULY 2007.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
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result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 17:03:50 ON 27 JUL 2007

=> file registry
COST IN U.S. DOLLARS

| | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 17:04:05 ON 27 JUL 2007
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 26 JUL 2007 HIGHEST RN 943513-14-2
DICTIONARY FILE UPDATES: 26 JUL 2007 HIGHEST RN 943513-14-2

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

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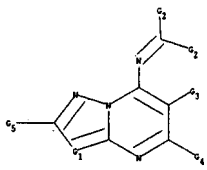
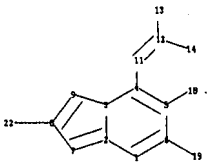
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10 series\10589496\10589496a.str





chain nodes :
11 12 13 14 18 19 22
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
4-11 5-18 6-19 8-22 11-12 12-13 12-14
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 4-11 5-6 5-18 6-19 7-8 8-9 8-22 11-12
12-13 12-14

G1:C,N

G2:C,O,S,N,Ak,Cy

G3:C,Cy,Ak

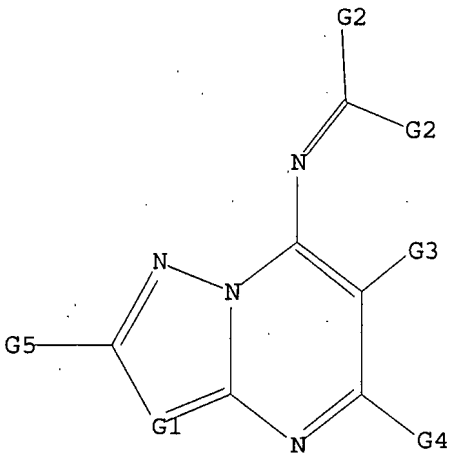
G4:CN,X,C,S,N,Ak,Cb,O

G5:CN,NH2,NO2,Ak,C,H,N,X,Cb

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS
12:CLASS 13:CLASS 14:CLASS 18:CLASS 19:CLASS 22:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR




G1 C,N

G2 C,O,S,N,Ak,Cy

G3 C,Cy,Ak

G4 CN,X,C,S,N,Ak,Cb,O

G5 CN,NH2,NO2,Ak,C,H,N,X,Cb

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 17:05:14 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 914 TO ITERATE

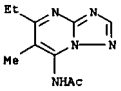
100.0% PROCESSED 914 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 16467 TO 20093
PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> d scan

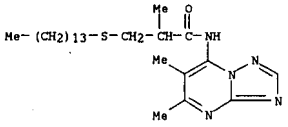
L2 2 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN s-Triazolo[1,5-a]pyrimidine, 7-acetamido-5-ethyl-6-methyl- (7CI)
MF C10 H13 N5 O



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 2 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Propanamide, N-(5,6-dimethyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-2-methyl-3-(tetradecylthio)- (9CI)
MF C25 H43 N5 O S



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

ALL ANSWERS HAVE BEEN SCANNED

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=> s l1 sss full
FULL SEARCH INITIATED 17:06:04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    18655 TO ITERATE

100.0% PROCESSED    18655 ITERATIONS                          12 ANSWERS
SEARCH TIME: 00.00.01

L3           12 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               173.45     173.66

FILE 'CAPLUS' ENTERED AT 17:06:12 ON 27 JUL 2007
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FILE COVERS 1907 - 27 Jul 2007  VOL 147 ISS 6
FILE LAST UPDATED: 26 Jul 2007  (20070726/ED)

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They are available for your review at:

http://www.cas.org/infopolicy.html

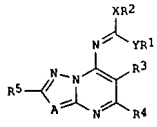
=> s l3
L4           10 L3

=> d l4 1-10 ibib abs hitstr
```

L4 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:962261 CAPLUS
DOCUMENT NUMBER: 143:266948
TITLE: Preparation of azolopyrimidines as agrochemical fungicides.
INVENTOR(S): Schwoegler, Anja; Gewehr, Markus; Mueller, Bernd; Grote, Thomas; Grammenos, Wassilios; Tormo i Blasco, Jordi; Rheinheimer, Joachim; Blettner, Carsten; Schaefer, Peter; Schieweck, Frank; Wagner, Oliver; Stierl, Reinhard; Schoefl, Ulrich; Strathmann, Siegfried; Scherer, Maria
PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005080396 | A2 | 20050901 | WO 2005-EP1965 | 20050224 |
| WO 2005080396 | A3 | 20051124 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1720879 | A2 | 20061115 | EP 2005-715521 | 20050224 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |

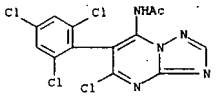
PRIORITY APPLN. INFO.: DE 2004-102004009178A 20040225
WO 2005-EP1965 W 20050224
OTHER SOURCE(S): MARPAT 143:266948
GI



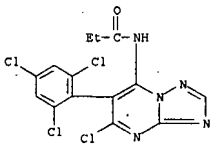
I

AB Title compds. [I; A = N, CR6; X, Y = bond, O, S, NR7; R1, R2 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, Ph, phenylalkyl, naphthyl, naphthylalkyl, (aromatic) heterocyclyl, heterocyclylalkyl, etc.; YR1, XR2 = H, cyano, NO2, halo, atoms to form (substituted) (heterocyclic) 5-7 membered rings, etc.; R3 = (substituted) alkyl, alkenyl, alkadienyl, alkynyl, cycloalkyl, cycloalkenyl, bicycloalkyl, Ph, phenylalkyl, naphthyl, (aromatic) heterocyclyl,

L4 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
heterocyclylalkyl, etc.; R4 = halo, cyano, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, etc.; R5 = H, cyano, NO2, NH2, CH2NH2, halo, haloalkyl, alkyl, alkenyl, etc.], were prepd. Thus, a -8° mixt. of POCl3 and DMF was treated with 7-amino-5-chloro-6-(2,4,6-trifluorophenyl)triazolo[1,5-a]pyrimidine hydrochloride in DMF and Et3N to give 66% I (YR1 = NMe2; XR2, R5 = H; R3 = 2,4,6-trifluorophenyl; R4 = Cl). The latter at 250 ppm reduced incidence of Alternaria solani on tomatoes to ≤1%, vs. 100% for untreated controls.
IT 863604-57-3P 863604-58-4P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azolopyrimidines as agrochem. fungicides)
RN 863604-57-3 CAPLUS
CN Acetamide, N-[5-chloro-6-(2,4,6-trichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



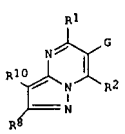
RN 863604-58-4 CAPLUS
CN Propanamide, N-[5-chloro-6-(2,4,6-trichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:391719 CAPLUS
DOCUMENT NUMBER: 136:401776
TITLE: Preparation of preventive or therapeutic medicines for diabetes containing fused-heterocycle compounds such as pyrazolopyrimidines
INVENTOR(S): Kato, Fuminori; Kimura, Hirohiko; Omatsu, Masato; Yamamoto, Kazuhiro; Miyamoto, Ryuji
PATENT ASSIGNEE(S): Ishihara Sangyo Kaisha, Ltd., Japan
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002040485 | A1 | 20020523 | WO 2001-JP10061 | 20011116 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| JP 2002212076 | A | 20020731 | JP 2001-346339 | 20011112 |
| CA 2429067 | A1 | 20020523 | CA 2001-2429067 | 20011116 |
| AU 200215223 | A | 20020527 | AU 2002-15223 | 20011116 |
| EP 1334973 | A1 | 20030813 | EP 2001-983816 | 20011116 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| IN 2003KN00552 | A | 20050311 | IN 2003-KN552 | 20030430 |
| US 2004043998 | A1 | 20040304 | US 2003-416164 | 20030515 |
| US 7067520 | B2 | 20060627 | | |

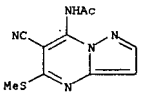
PRIORITY APPLN. INFO.: JP 2000-351764 A 20001117
WO 2001-JP10061 W 20011116
OTHER SOURCE(S): CASREACT 136:401776; MARPAT 136:401776
GI



I

AB The title compds. I [G is CN, NO2, etc.; R1 is halogeno, etc.; R2 is halogeno, optionally substituted amino, etc.; and R8 and R10 are each independently hydrogen, halogeno, or alkyl] are prepared Processes for preparing I are disclosed. Compds. of this invention at 50 mg/kg orally gave

L4 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
statistically significant decreases of blood sugar in diabetic mice.
IT 429694-71-3P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of preventive or therapeutic medicines for diabetes containing
fused-heterocycle compds. or their salts)
RN 429694-71-3 CAPLUS
CN Acetamide, N-[6-cyano-5-(methylthio)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:650390 CAPLUS
DOCUMENT NUMBER: 131:271882
TITLE: Preparation of pyrazolo[1,5-a]pyrimidines as nitrogen monoxide synthase inhibitors
INVENTOR(S): Koji, Yasuo; Okamura, Takashi; Hashimoto, Kinji; Kondo, Mitsuyoshi; Shibutani, Naotaka
PATENT ASSIGNEE(S): Ohtsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 11279178 | A | 19991012 | JP 1999-18861 | 19990127 |
| PRIORITY APPLN. INFO.: | | | JP 1998-17068 A | 19980129 |

OTHER SOURCE(S): MARPAT 131:271882
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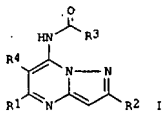
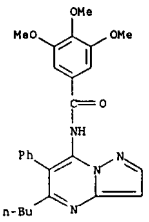


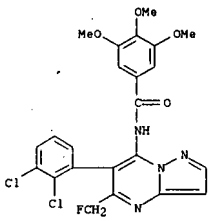
I

AB Title compds. [I; R 1 = CH3(CH2)3, CF3CH2CH2, FCH2CH2, (4-FC6H4)2C:CHCH2, CF3CH2OCH2, OPr-n, OEt, C6H5(CH2)3, C6H5CH2; R2 = H, 2-pyrazinyl; R3 = 4-MeSC6H4, 3,4,5-(MeO)3C6H2, 2,4-(Cl)2C6H3, 4-PhSO2C6H4, 2-MeSO2C6H4, 4-MeSO2C6H4, 4-PhSOC6H4, 2-MeSOC6H4, 4-MeSOC6H4, 2-PhCONHC6H4, 2-AcNHC6H4, 2-PhOC6H4, 4-PhSC6H4, 2-MeSC6H4; R4 = H, C6H5, 2,3-(Cl)2C6H3] are prepared as nitrogen monoxide synthase inhibitors effective as pain killer and treatment or prevention of septicemia, endotoxin shock, chronic arthrorheumatism (no data). Thus, the title compound I (R1 = C6H5CH2; R2 = H; R3 = 3,4,5-(MeO)3C6H2; R4 = H) was prepared
IT 245095-93-6P 245096-78-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolo[1,5-a]pyrimidines as nitrogen monoxide synthase inhibitors)
RN 245095-93-6 CAPLUS
CN Benzamide, N-(5-butyl-6-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 245096-78-0 CAPLUS
CN Benzamide, N-[6-(2,3-dichlorophenyl)-5-(fluoromethyl)pyrazolo[1,5-a]pyrimidin-7-yl]-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:246630 CAPLUS
DOCUMENT NUMBER: 128:248613
TITLE: Adenosine reinforcement agents
INVENTOR(S): Moritoki, Hideki; Iwamoto, Takeshi; Yasuda, Tsuneo
PATENT ASSIGNEE(S): Ootsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 10101672 | A | 19980421 | JP 1997-208772 | 19970804 |
| PRIORITY APPLN. INFO.: | | | JP 1996-207171 A | 19960806 |

OTHER SOURCE(S): MARPAT 128:248613
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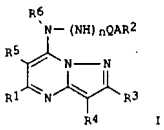
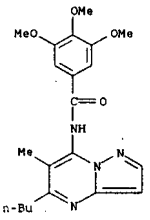


I

AB The title compds. [I; R1 = H, lower alkoxy or alkylthio, oxo, etc.; R2 = naphthyl, cycloalkyl, (un)substituted phenoxy, etc.; R3 = H, Ph, lower alkyl; R4 = H, lower alkyl, halo, aralkyl, etc.; R5 = H, lower alkyl; R6 = H, lower alkyl, (un)substituted benzoyl, etc.; Q = CO, SO2; A = single bond, lower alkylene or alkenylene; n = 0, 1] are presented as adenosine reinforcement agents. I, possessing adenosine reinforcement activity, are useful for prevention and treatment of heart attack, myocardial and brain infarction. Ten compds. of I were tested and showed excellent adenosine reinforcement activity. Formulation containing I were also prepared
IT 174859-41-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(adenosine reinforcement agents)
RN 174859-41-7 CAPLUS
CN Benzamide, N-(5-butyl-6-methylpyrazolo[1,5-a]pyrimidin-7-yl)-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)

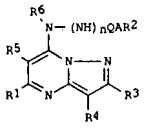
L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:246629 CAPLUS
DOCUMENT NUMBER: 128:248612
TITLE: Nitrogen monooxide synthase inhibitors
INVENTOR(S): Moritoki, Hideki; Iwamoto, Takeshi; Yasuda, Tsuneo
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 10101671 | A | 19980421 | JP 1997-207867 | 19970801 |
| PRIORITY APPLN. INFO.: | | | JP 1996-209465 A | 19960808 |

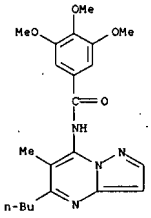
OTHER SOURCE(S): MARPAT 128:248612
GI



I

AB The title compds. [I; R1 = H, lower alkoxy or alkylthio, oxo, etc.; R2 = naphthyl, cycloalkyl, (un)substituted phenoxy, etc.; R3 = H, Ph, lower alkyl; R4 = H, lower alkyl, halo, aralkyl, etc.; R5 = H, lower alkyl; R6 = H, lower alkyl, (un)substituted benzoyl, etc.; Q = CO, SO2; A = single bond, lower alkylene or alkenylene; n = 0, 1] are presented as NO synthase inhibitors. I are useful for prevention and treatment of septicemia. 14 Compds. of I were tested and showed excellent NO synthase inhibitory activity. Formulation containing I were also prepared
IT 174859-41-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyrozolopyrimidine derivs. as nitrogen monooxide synthase inhibitors)
RN 174859-41-7 CAPLUS
CN Benzamide, N-(5-butyl-6-methylpyrazolo[1,5-a]pyrimidin-7-yl)-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)

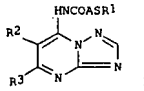
L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:465087 CAPLUS
DOCUMENT NUMBER: 127:81462
TITLE: Preparation of triazolopyrimidine derivatives as ACAT inhibitors
INVENTOR(S): Sato, Masakazu; Mannaka, Akira; Takahashi, Keiko; Tomizawa, Kazuyuki
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 09169763 | A | 19970630 | JP 1995-333247 | 19951221 |
| JP 3716472 | B2 | 20051116 | | |
| PRIORITY APPLN. INFO.: | | | JP 1995-333247 | 19951221 |

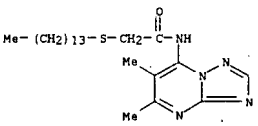
OTHER SOURCE(S): MARPAT 127:81462
GI



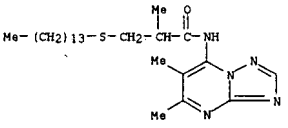
I

AB The title compds. (I; X = ASR1; A = C1-4 alkylene; R1 = C1-20 alkyl; R2 = H, C1-4 alkyl; R3 = Me, morpholino) are prepared I, possessing Acyl-CoA Cholesterolacyltransferase (ACAT) inhibitory activity, are useful as lipid lowering agents and arteriosclerosis remedies. Thus, Me(CH2)13SH was treated with NaH and then reacted with I (X = CMe2Br, R2 = Me, R3 = morpholino) (preparation given) to give the title compound I [X = CMe2S(CH2)13Me, R2 = Me, R3 = morpholino], which showed IC50 of 6.05 X 10-6 M against ACAT when tested with rabbits.
IT 191655-89-7P 191655-90-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triazolopyrimidine derivs. as ACAT inhibitors)
RN 191655-89-7 CAPLUS
CN Acetamide, N-(5,6-dimethyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-2-(tetradecylthio)- (9CI) (CA INDEX NAME)

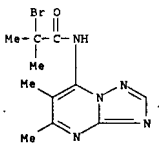
L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 191655-90-0 CAPLUS
CN Propanamide, N-(5,6-dimethyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-2-methyl-3-(tetradecylthio)- (9CI) (CA INDEX NAME)



IT 191655-98-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of triazolopyrimidine derivs. as ACAT inhibitors)
RN 191655-98-8 CAPLUS
CN Propanamide, 2-bromo-N-(5,6-dimethyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-2-methyl- (9CI) (CA INDEX NAME)

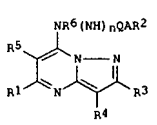


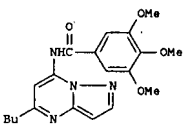
L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:196727 CAPLUS
DOCUMENT NUMBER: 124:261026
TITLE: Preparation and formulation of pyrazolopyrimidine derivatives as analgesics
INVENTOR(S): Shoji, Yasuo; Inoue, Makoto; Okamura, Takashi; Hashimoto, Kinji; Ohara, Masayuki; Yasuda, Tsuneo
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9535298 | A1 | 19951228 | WO 1995-JP1104 | 19950605 |
| W: AU, CA, CN, KR, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| CA 2169719 | A1 | 19951228 | CA 1995-2169719 | 19950605 |
| CA 2169719 | C | 20020416 | | |
| AU 9525765 | A | 19960115 | AU 1995-25765 | 19950605 |
| AU 680370 | B2 | 19970724 | | |
| EP 714898 | A1 | 19960605 | EP 1995-920260 | 19950605 |
| EP 714898 | B1 | 20011114 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| CN 1131948 | A | 19960925 | CN 1995-190760 | 19950605 |
| CN 1046730 | B | 19991124 | | |
| JP 08311068 | A | 19961126 | JP 1995-137878 | 19950605 |
| JP 3163412 | B2 | 20010508 | | |
| JP 08310951 | A | 19961126 | JP 1995-137890 | 19950605 |
| JP 3163413 | B2 | 20010508 | | |
| AT 208776 | T | 20011115 | AT 1995-920260 | 19950605 |
| ES 2164153 | T3 | 20020216 | ES 1995-920260 | 19950605 |
| PT 714898 | T | 20020429 | PT 1995-920260 | 19950605 |
| US 5707997 | A | 19980113 | US 1996-602824 | 19960221 |

PRIORITY APPLN. INFO.: JP 1994-138635 A 19940621
JP 1995-53997 A 19950314
WO 1995-JP1104 W 19950605

OTHER SOURCE(S): MARPAT 124:261026
GI


I

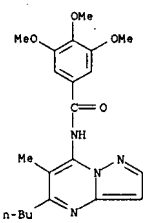

II

AB The title compds. I [R1 represents hydrogen, lower alkyl, cycloalkyl, thienyl, furyl, lower alkenyl or phenyl; R2 represents naphthyl, cycloalkyl, furyl, thienyl, pyridyl, phenoxy or phenyl; R3 represents

L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
hydrogen, Ph or lower alkyl; R4 represents hydrogen, lower alkyl, lower alkoxycarbonyl, phenyl-substituted lower alkyl, Ph or halogen; R5 represents hydrogen or lower alkyl; R6 represents hydrogen, lower alkyl, phenyl-substituted lower alkyl or benzoyl; Q represents carbonyl or sulfonyl; A represents a single bond, lower alkylene or lower alkenylene; and n represents 0 or 1] are prepd. The title compd. II (prepn. given) at 3 mg/Kg orally showed potent analgesic activity in rats.

IT 174859-41-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidine derivs. as analgesics)

RN 174859-41-7 CAPLUS
CN Benzamide, N-(5-butyl-6-methylpyrazolo[1,5-a]pyrimidin-7-yl)-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1966:27540 CAPLUS
DOCUMENT NUMBER: 64:27540
ORIGINAL REFERENCE NO.: 64:5086g-h,5087a-h,5088a-d
TITLE: Syntheses of pyrazole derivatives. XI. Acetylation products of 7-aminopyrazolo[1,5-a]pyrimidines. Supplement
AUTHOR(S): Takamizawa, Akira; Hamashima, Yoshio
CORPORATE SOURCE: Shionogi Co., Ltd., Osaka
SOURCE: Chemical & Pharmaceutical Bulletin (1965), 13(10), 1207-20
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English

AB cf. CA 63, 5644b. The steric effect of substituents at C-6 of pyrazolopyrimidine ring on the NH2 group at C-7 was investigated. A mixture of 2 g. 7-amino-2,5-dimethylpyrazolo[1,5-a]pyrimidine, 10 ml. Ac2O, and 20 ml. pyridine was heated at 105° for 5.5 hrs. to give 1.8 g. 7-acetamido-2,5-dimethylpyrazolo[1,5-a]pyrimidine, m. 83-4°. The same reaction could be carried out with AcCl in pyridine. Similarly 500 mg. 2-methyl-5-phenyl-7-aminopyrazolo[1,5-a]pyrimidine gave 450 mg. 2-methyl-5-phenyl-7-acetamidopyrazolo[1,5-a]pyrimidine, m. 196-8°; and 5-phenyl-7-amino-2,3-dimethylpyrazolo[1,5-a]pyrimidine gave 84.8% yield of 5-phenyl-7-acetamido-2,3-dimethylpyrazolo-[1,5-a]pyrimidine, m. 165-6°. On the other hand acetylation of 500 mg. 2-phenyl-7-amino-5,6-dimethylpyrazolo[1,5-a]pyrimidine with 5 ml. Ac2O and 15 ml. pyridine at 100° 3 hrs. gave 84.7% 2-phenyl-7-diacetylamino-5,6-dimethylpyrazolo[1,5-a]pyrimidine, m. 168-9°. Mild acetylation of 500 mg. 6-phenyl-7-amino-2,3,5-trimethylpyrazolo[1,5-a]pyrimidine at 100° for 12 hrs. gave 490 mg. 6-phenyl-7-acetamido-2,3,5-trimethylpyrazolo-[1,5-a]pyrimidine, m. 228-9°, which on reacetylation at 115° for 6 hrs. gave 89.6% 6-phenyl-7-diacetylamino-2,3,5-trimethyl-pyrazolo[1,5-a]pyrimidine, m. 105°. These results indicated that 7-amino group gave a diacetate when an alkyl or aryl group was present at C-6. Compds. with electroneg. COOEt and CN groups at C-6 were examined. Thus, acetylation of 1 g. ethyl 2-methyl-7-aminopyrazolo[1,5-a]pyrimidine-6-carboxylate (I) on acetylation with 10 ml. Ac2O and 20 ml. pyridine in a sealed tube at 110° for 15 hrs. gave 338 mg. ethyl 2-methyl-7-acetylaminopyrazolo[1,5-a]pyrimidine-6-carboxylate (II), m. 169-70°, and 102 mg. ethyl 2-methyl-7-diacetylaminopyrazolo[1,5-a]pyrimidine-6-carboxylate, m. 100-3°. The diacetate on Al2O3 in CHCl3 gave II, whereas the reacetylation of II gave the diacetate. Similarly 1.5 g. ethyl 7-amino-2,3-dimethylpyrazolo[1,5-a]pyrimidine-6-carboxylate (III) gave 1.55 g. ethyl 7-diacetylamino-2,3-dimethylpyrazolo[1,5-a]pyrimidine-6-carboxylate, m. 83-5°, which on chromatography over Al2O3 in EtOAc gave ethyl 7-acetylamino-2,3-dimethylpyrazolo[1,5-a]pyrimidine (IV), m. 143-5°. Methylation of 500 mg. II with 500 mg. MeI in 10 ml. acetone in a sealed tube at 110° for 5 hrs. gave ethyl 7-acetylamino-2,4-dimethyl-4,7-dihydropyrazolo[1,5-a]pyrimidine-6-carboxylate (V), m. 143°. Similarly, 200 mg. IV gave 23 mg. ethyl 7-acetylimino-2,3,4-trimethyl-4,7-dihydropyrazolo[1,5-a]pyrimidine-6-carboxylate (VI), m. 176°. V and VI were also synthesized in another way. Methylation of 1.1 g. I with 0.71 g. MeI in 30 ml. acetone in a sealed tube at 100° for 6 hrs. gave the methiodide, m. 152° which was dissolved in H2O and neutralized with K2CO3 to give ethyl 7-imino-2,4-dimethyl-4,7-dihydropyrazolo[1,5-a]pyrimidine-6-carboxylate, m. 208°, which on acetylation at room temperature gave V identical with the above samples. Similarly, 2 g. III gave 1.42 g. hydriodide, m. 205°, which on neutralization gave ethyl

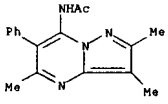
L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
7-imino-2,3,4-trimethyl-4,7-dihydropyrazolo[1,5-a]pyrimidine-6-carboxylate (VII), m. 228°. Its acetylation gave VI. Hydrolysis of VI and VII with 20% HCl under reflux for 24 hrs. gave the known 2,3,4-trimethylpyrazolo[1,5-a]pyrimidine-7(4H)-one. Just as methylation, ethylation of 2.2 g. I gave 564 mg. ethyl 2-methyl-4-ethyl-7-imino-4,7-dihydropyrazolo[1,5-a]pyrimidine-6-carboxylate, m. 155-6°; and 2 g. III gave ethyl 4-ethyl-7-imino-2,3-dimethyl-4,7-dihydropyrazolo[1,5-a]pyrimidine-6-carboxylate, m. 181-2°. On the other hand acetylation of 500 mg. 7-amino-2,3-dimethylpyrazolo-[1,5-a]pyrimidine-6-carbonitrile with 10 ml. pyridine and 5 ml. Ac2O at room temp. for 30 hrs. gave only the monoacetate, 7-acetamido-2,3-dimethylpyrazolo[1,5-a]pyrimidine-6-carbonitrile, m. 204-5°, which was also obtained by the acetylation at 110° for 8 hrs. An explanation was suggested to explain these results. Benzoylation was next tried. Treatment of 1 g. 7-amino-2,5-dimethylpyrazolo[1,5-a]pyrimidine with 10 ml. pyridine and 1.86 g. BzCl at 110° for 1 hr. gave 1.2 g. 7-benzamido-2,5-dimethylpyrazolo[1,5-a]pyrimidine, m. 138-9°. Similarly, 250 mg. 7-amino-3,6-dimethylpyrazolo[1,5-a]pyrimidine gave 200 mg. 7-benzamido-3,6-dimethylpyrazolo[1,5-a]pyrimidine, m. 187-8°. Similarly, other 7-acylamino compds. were prepd. Thus, a suspension of 5.7 g. 7-amino-2,3-dimethylpyrazolo[1,5-a]pyrimidine and 5 g. K2CO3 in 40 ml. dimethylformamide was treated with ClCH2COCl and the mixt. heated on a steam bath for 6 hrs. to give 1.94 g. 7-(2-chloroacetamido)-2,3-dimethylpyrazolo[1,5-a]pyrimidine (VIII), m. 175°. Replacement of ClCH2COCl by (ClCH2CO)2O and carrying out the reaction in CHCl3 gave the same result. On the other hand, the reaction of 7-amino-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine (IX) with ClCH2COCl in CHCl3 did not proceed, but on refluxing 1 g. IX with 1 g. anhydride in CHCl2 for 5 hrs. gave 960 mg. 7-(2-chloracetamido)-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 152-3°. However when 1.76 g. IX was treated with 1.13 g. ClCH2COCl in 20 ml. dimethylformamide on a steam bath for 1 hr., the product (634 mg.) was 7-(dimethylaminomethylideneamino)-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine (X), m. 119°, whose structure was proved by its spectral data. When ClCH2COCl was replaced by AcCl, 1 g. IX gave X and 7-acetamido-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine. Reaction of 1.68 g. VIII with 1.27 g. Me2NH in CHCl3 in a sealed tube at 105° for 6.5 hrs. gave 1.28 g. 7-(2-dimethylaminoacetamido)-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 168-70° which on redn. with LiAlH4 in tetrahydrofuran gave 7-(2-dimethylaminoethylamino)-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 103-4°. As expected the reaction of 7-amino-2,3-dimethylpyrazolo[1,5-a]pyrimidine gave ethyl 2,3-dimethylpyrazolo[1,5-a]pyrimidine-7-carbamate, m. 113°, with ClCO2Et; 7-(3,3-dimethylureido)-2,3-dimethyl-pyrazolo[1,5-a]pyrimidine, m. 163° with ClCONMe2; 7-(piperidinocarbonylamino)-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 126° with piperidinocarbonyl chloride; and 7-(morpholinecarbonylamino)-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 208°. IX was less reactive and on treatment with ClCO2Et gave ethyl 2,3,6-trimethylpyrazolo[1,5-a]pyrimidine-7-carbamate, m. 133-5°. 7-Alkylamino compds. were synthesized. Hydrolysis of 7-amino-2,3-dimethylpyrazolo[1,5-a]pyrimidine on hydrolysis gave 2,3-dimethylpyrazolo[1,5-a]pyrimidin-7(4H)-one, which (200 mg.) on refluxing with 10 ml. POCl3 for 3 hrs. gave 193 mg. 7-chloro-2,3-dimethylpyrazolo[1,5-a]pyrimidine (XI), m. 113°. Similarly, IX on hydrolysis gave 2,3,6-trimethylpyrazolo[1,5-a]-pyrimidin-7(4H)-one, which with POCl3 gave 86% 7-chloro-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 122°. Similarly were prepd. 7-chloro-2,3,5-trimethylpyrazolo[1,5-a]pyrimidine (XIII), m. 79°. A soln. of 615 mg. XI and 600 mg. NaOAc in 30 ml. MeOH was hydrogenated at room temp. in the presence of 500 mg. 5% Pd-C to absorb 76 ml. H within 5 min. to give 480 mg. 2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 54°, which on further

L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
hydrogenation under the same conditions gave 76.2% 2,3-dimethyl-4,5,6,7-tetrahydropyrazolo[1,5-a]pyrimidine, m. 141-2°. Similarly 500 mg. XII gave 350 mg. 2,3,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 110° and 72.5% yield of 2,3,6-trimethyl-4,5,6,7-tetrahydropyrazolo[1,5-a]pyrimidine, m. 169-70°; and 213 mg. XIII gave 156 mg. 2,3,5-trimethylpyrazolo[1,5-a]pyrimidine, m. 81°, hydrochloride, m. 179°. A mixt. of 300 mg. XI and excess of MeNH2 in CHCl3 was heated in a sealed tube at 150° for 8 hrs. to give 7-methylamino-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 145-6° and was also obtained by hydrogenation of 7-formamido-2,3-dimethylpyrazolo[1,5-a]pyrimidine. Similarly were prepd. 7-methylamino-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 157°; 7-methylamino-2,3,5-trimethylpyrazolo[1,5-a]pyrimidine, m. 174°; 7-dimethylamino-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 71°, hydrochloride, m. 240°; 7-dimethylamino-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 69°, hydrochloride, m. 206°; 7-dimethylamino-2,3,5-trimethylpyrazolo[1,5-a]pyrimidine, m. 84°, hydrochloride, m. 250°; 2,3,5-trimethyl-7-piperidinopyrazolo[1,5-a]pyrimidine, m. 132°, hydrochloride, m. 205°; 7-(dimethylcarbamoylmethylamino)-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 185-6°, hydrochloride, m. 248°; and 7-(dimethylcarbamoylmethylamino)-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 177°. The uv, ir, and N.M.R. spectra of all the compds. were described.

IT 4385-22-2P, Pyrazolo[1,5-a]pyrimidine, 7-acetamido-2,3,5-trimethyl-6-phenyl-
RL: PREP (Preparation)
(preparation of)

RN 4385-22-2 CAPLUS

CN Acetamide, N-(2,3,5-trimethyl-6-phenylpyrazolo[1,5-a]pyrimidin-7-yl)- (8CI) (CA INDEX NAME)




L4 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1966:11534 CAPLUS
DOCUMENT NUMBER: 64:11534
ORIGINAL REFERENCE NO.: 64:2102f-g
TITLE: 7-Aminopyrazolo[1,5-a]pyrimidine derivatives
INVENTOR(S): Takamizawa, Akira; Hayashi, Sadao; Hamashima, Yoshio
PATENT ASSIGNEE(S): Shionogi & Co., Ltd.
SOURCE: 3 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 40018757 | B4 | 19650823 | JP | 19630907 |
| PRIORITY APPLN. INFO.: | | | JP | 19630907 |

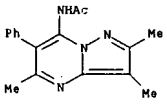
GI For diagram(s), see printed CA Issue.

AB Manufacture of I, useful as analgesics and antiinflammatory agents, was described. Thus, a solution of 500 mg. 2,3-dimethyl-7-aminopyrazolo[1,5-a]pyrimidine in 10 ml. C5H5N is heated on a steam bath 3 hrs. with 5 ml. Ac2O, the whole concentrated in vacuo, and the residue dissolved in H2O, made alkaline, and extracted with AcOEt to give 480 mg. I (R1 = R2 = Me, R3 = R4 = R5 = H, R6 = Ac), m. 135-6° (AcOEt). Similarly prepared are the following I (R1, R2, R3, R4, R5, R6, and m.p. given): H, Me, H, Me, Ac, Ac, 119-21°; H, Me, H, Me, H, Ac, 153° Me, Me, H, Me, Ac, Ac, 137-8°; Me, Me, H, Me, H, Ac, 158-9°; Me, H, Ph, H, H, Ac, 196-8°; Me, Me, Ph, H, H, Ac, 165-6°; Me, Me, Me, Ph, H, Ac, 229-30°; Me, Me, Me, Ph, Ac, Ac, 105°.

IT 4385-22-2P, Pyrazolo[1,5-a]pyrimidine, 7-acetamido-2,3,5-trimethyl-6-phenyl-
RL: PREP (Preparation)
(preparation of)

RN 4385-22-2 CAPLUS

CN Acetamide, N-(2,3,5-trimethyl-6-phenylpyrazolo[1,5-a]pyrimidin-7-yl)- (8CI) (CA INDEX NAME)




L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1964:3162 CAPLUS
DOCUMENT NUMBER: 60:3162
ORIGINAL REFERENCE NO.: 60:523e-g
TITLE: Condensed heterocycles. IV. Condensation of 3-amino-1,2,4-triazoles with diaceto- and dipropionitriles
AUTHOR(S): Levin, Ya. A.; Kukhtin, V. A.
CORPORATE SOURCE: Cine-Photo Res. Inst., Kazan
SOURCE: Zhurnal Obshchei Khimii (1963), 33(8), 2678-82
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

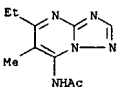
GI For diagram(s), see printed CA Issue.

AB Heating 3-amino-5-substituted 1,2,4-triazoles with substituted β-aminoacrylonitriles 30-40 min at 155-200° gave (Ia) (R, R', R'' & yield, and m.p. shown, resp.): H Me, H (I), 84, 246-7° (picrate decomposed 212-14°); Pr, Me, H, 61, 180-1°; C6H13, Me, H, 56, 128-30°; H, Et, Me (II), 72, 262-3°; Pr, Et, Me, 51, 225-6°. I refluxed with Ac2O in C5H5N gave the Ac derivative, m. 230°; similarly was prepared Ac derivative of II, m. 1402°, purified on Al2O3 in C6H6. I and tosyl chloride gave 75% ptoluenesulfonamido analog, decomposed 283-5° (λ 304 mμ). Treated with Br vapors at 60° in H2O, I gave 88% 4-imino-5bromo-6-methyt-1,2,4-triazolo[2,3-a]pyrimidine, decomposed 2457° (λ 261 and 298 mμ). I and aqueous I-KI in the presence of K2CO3 at 70-80° gave 4-amino-6-methyl-5-iodo-1,2,4-triazolo[2,3-a]pyrimidine, decomposed 233-5° (λ 260 and 300 mμ). 4-Chloro-5-hexyl-6-methyl-1,2,4-triazolo[2,3-a]pyrimidine, m. 412°, formed in 82% yield from the 4-oxo analog by refluxing in POCl3 3 hrs. Treated with NH3 in EtOH at 0°, then heated 3 hrs. in an ampul at 100°, this gave 83% 4-amino-5-hexyl-6methyl-1,2,4-triazolo[2,3-a]pyrimidine, m. 230-1°, which could not be prepared by the above condensation of aminotriazole with dipropionitrile even at 230°. I and concentrated HCl in 5 hrs. at 140° in a sealed tube gave 3-amino-1,2,4-triazole, isolated as the picrate, decomposed 228-30°. Ultraviolet spectra of Ia are shown.

IT 90973-30-1P, s-Triazolo[1,5-a]pyrimidine, 7-acetamido-5-ethyl-6-methyl-
RL: PREP (Preparation)
(preparation of)

RN 90973-30-1 CAPLUS

CN s-Triazolo[1,5-a]pyrimidine, 7-acetamido-5-ethyl-6-methyl- (7CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS

| | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 63.04 | 236.70 |

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

| | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -7.80 | -7.80 |

FILE 'REGISTRY' ENTERED AT 17:19:28 ON 27 JUL 2007


Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

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http://www.cas.org/support/stngen/stndoc/properties.html

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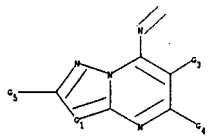

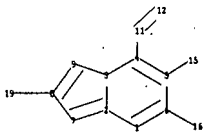

chain nodes :
11 12 15 16 19
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
4-11 5-15 6-16 8-19 11-12
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 4-11 5-6 5-15 6-16 7-8 8-9 8-19 11-12

G1:C,N

G2:C,O,S,N,Ak,Cy

G3:C,Cy,Ak

G4:CN,X,C,S,N,Ak,Cb,O

G5:CN,NH2,NO2,Ak,C,H,N,X,Cb

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS
12:CLASS 15:CLASS 16:CLASS 19:CLASS

L5 STRUCTURE UPLOADED

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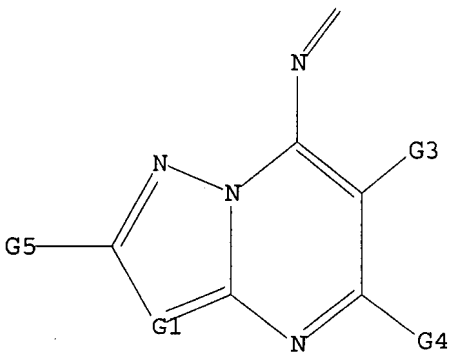
L5 HAS NO ANSWERS
L5 STR




G1 C,N

G2 C,O,S,N,Ak,Cy

G3 C,Cy,Ak

G4 CN,X,C,S,N,Ak,Cb,O

G5 CN,NH2,NO2,Ak,C,H,N,X,Cb

Structure attributes must be viewed using STN Express query preparation.

=> s l5
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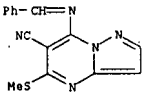
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 16467 TO 20093
PROJECTED ANSWERS: 3 TO 163

L6 3 SEA SSS SAM L5

=> d scan

L6  3 ANSWERS  REGISTRY  COPYRIGHT 2007 ACS on STN
IN  Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 5-(methylthio)-7-[(phenylmethylene)amino]- (9CI)
MF  C15 H11 N5 S




**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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FULL SCREEN SEARCH COMPLETED -    18655 TO ITERATE

100.0% PROCESSED    18655 ITERATIONS                                20 ANSWERS
SEARCH TIME: 00.00.01

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=> file caplus
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FULL ESTIMATED COST                                     172.10     408.80

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)            SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                       0.00      -7.80

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=> s l7
L8          12 L7

=> d l8 1-12 ibib abs hitstr
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L8 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:962261 CAPLUS
DOCUMENT NUMBER: 143:266948
TITLE: Preparation of azolopyrimidines as agrochemical fungicides.
INVENTOR(S): Schwoegler, Anja; Gewehr, Markus; Mueller, Bernd; Grote, Thomas; Grammenos, Wassilios; Tormo i Blasco, Jordi; Rheinheimer, Joachim; Blettner, Carsten; Schaefer, Peter; Schieweck, Frank; Wagner, Oliver; Stierl, Reinhard; Schoefl, Ulrich; Strathmann, Siegfried; Scherer, Maria
PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005080396 | A2 | 20050901 | WO 2005-EP1965 | 20050224 |
| WO 2005080396 | A3 | 20051124 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
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PRIORITY APPLN. INFO.: DE 2004-102004009178A 20040225
WO 2005-EP1965 W 20050224
OTHER SOURCE(S): MARPAT 143:266948
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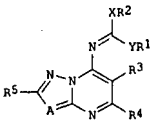
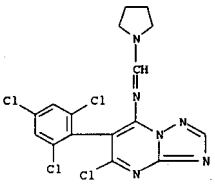


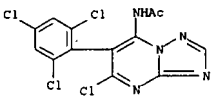
I

AB Title compds. [I; A = N, CR6; X, Y = bond, O, S, NR7; R1, R2 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, Ph, phenylalkyl, naphthyl, naphthylalkyl, (aromatic) heterocyclyl, heterocyclylalkyl, etc.; YR1, XR2 = H, cyano, NO2, halo, atoms to form (substituted) (heterocyclic) 5-7 membered rings, etc.; R3 = (substituted)

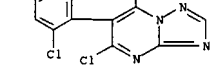
L8 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 863604-57-3 CAPLUS
CN Acetamide, N-[5-chloro-6-(2,4,6-trichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



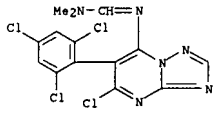
RN 863604-58-4 CAPLUS
CN Propanamide, N-[5-chloro-6-(2,4,6-trichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



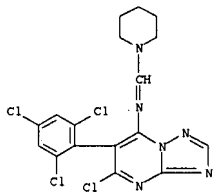
L8 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
alkyl, alkenyl, alkadienyl, alkynyl, cycloalkyl, cycloalkenyl, bicycloalkyl, Ph, phenylalkyl, naphthyl, (arom.) heterocyclyl, heterocyclylalkyl, etc.; R4 = halo, cyano, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, etc.; R5 = H, cyano, NO2, NH2, CH2NH2, halo, haloalkyl, alkyl, alkenyl, etc.], were prepd. Thus, a -8° mixt. of POCl3 and DMF was treated with 7-amino-5-chloro-6-(2,4,6-trifluorophenyl)triazolo[1,5-a]pyrimidine hydrochloride in DMF and Et3N to give 66% I (YR1 = NMe2; XR2, R5 = H; R3 = 2,4,6-trifluorophenyl; R4 = Cl). The latter at 250 ppm reduced incidence of Alternaria solani on tomatoes to ≤1%, vs. 100% for untreated controls.

IT 863604-54-0P 863604-55-1P 863604-56-2P
863604-57-3P 863604-58-4P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azolopyrimidines as agrochem. fungicides)

RN 863604-54-0 CAPLUS
CN Methanimidamide, N'-[5-chloro-6-(2,4,6-trichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 863604-55-1 CAPLUS
CN Piperidine, 1-[[[5-chloro-6-(2,4,6-trichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]imino]methyl]- (9CI) (CA INDEX NAME)



RN 863604-56-2 CAPLUS
CN Pyrrolidine, 1-[[[5-chloro-6-(2,4,6-trichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]imino]methyl]- (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:391719 CAPLUS
DOCUMENT NUMBER: 136:401776
TITLE: Preparation of preventive or therapeutic medicines for diabetes containing fused-heterocycle compounds such as pyrazolopyrimidines
INVENTOR(S): Kato, Fuminori; Kimura, Hirohiko; Omatsu, Masato; Yamamoto, Kazuhiro; Miyamoto, Ryuji
PATENT ASSIGNEE(S): Ishihara Sangyo Kaisha, Ltd., Japan
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002040485 | A1 | 20020523 | WO 2001-JP10061 | 20011116 |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| JP 2002212076 | A | 20020731 | JP 2001-346339 | 20011112 |
| CA 2429067 | A1 | 20020523 | CA 2001-2429067 | 20011116 |
| AU 2002215223 | A | 20020527 | AU 2002-15223 | 20011116 |
| EP 1334973 | A1 | 20030813 | EP 2001-983816 | 20011116 |
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| IN 2003KN00552 | A | 20050311 | IN 2003-KN552 | 20030430 |
| US 2004043998 | A1 | 20040304 | US 2003-416164 | 20030515 |
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PRIORITY APPLN. INFO.: JP 2000-351764 A 20001117
WO 2001-JP10061 W 20011116
OTHER SOURCE(S): CASREACT 136:401776; MARPAT 136:401776
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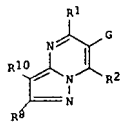
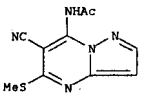


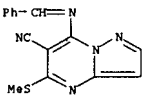
I

AB The title compds. I [G is CN, NO2, etc.; R1 is halogeno, etc.; R2 is halogeno, optionally substituted amino, etc.; and R8 and R10 are each independently hydrogen, halogeno, or alkyl] are prepared Processes for preparing I are disclosed. Compds. of this invention at 50 mg/kg orally gave

L8 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
statistically significant decreases of blood sugar in diabetic mice.
IT 429694-71-3P 429694-96-2P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of preventive or therapeutic medicines for diabetes containing
fused-heterocycle compds. or their salts)
RN 429694-71-3 CAPLUS
CN Acetamide, N-[6-cyano-5-(methylthio)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

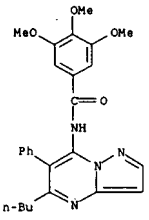


RN 429694-96-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 5-(methylthio)-7-[(phenylmethylene)amino]- (9CI) (CA INDEX NAME)

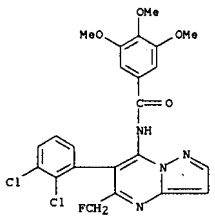


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



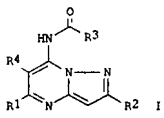
RN 245096-78-0 CAPLUS
CN Benzamide, N-[6-(2,3-dichlorophenyl)-5-(fluoromethyl)pyrazolo[1,5-a]pyrimidin-7-yl]-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)



L8 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:650390 CAPLUS
DOCUMENT NUMBER: 131:271882
TITLE: Preparation of pyrazolo[1,5-a]pyrimidines as nitrogen monoxide synthase inhibitors
INVENTOR(S): Koji, Yasuo; Okamura, Takashi; Hashimoto, Kinji; Kondo, Mitsuyoshi; Shibutani, Naotaka
PATENT ASSIGNEE(S): Ohtsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 11279178 | A | 19991012 | JP 1999-18861 | 19990127 |
| PRIORITY APPLN. INFO.: | | | JP 1998-17068 A | 19980129 |
| OTHER SOURCE(S): | MARPAT 131:271882 | | | |

GI

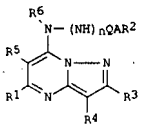


AB Title compds. [I; R 1 = CH3(CH2)3, CF3CH2CH2, FCH2CH2, (4-FC6H4)2C:CHCH2, CF3CH2OCH2, OPr-n, OEt, C6H5(CH2)3, C6H5CH2; R2 = H, 2-pyrazinyl; R3 = 4-MeSC6H4, 3,4,5-(MeO)3C6H2, 2,4-(Cl)2C6H3, 4-PhSO2C6H4, 2-MeSO2C6H4, 4-MeSO2C6H4, 4-PhSOC6H4, 2-MeSOC6H4, 4-MeSOC6H4, 2-PhCONHC6H4, 2-AcNHC6H4, 2-PhOC6H4, 4-PhSC6H4, 2-MeSC6H4; R4 = H, C6H5, 2,3-(Cl)2C6H3] are prepared as nitrogen monoxide synthase inhibitors effective as pain killer and treatment or prevention of septicemia, endotoxin shock, chronic arthrorheumatism (no data). Thus, the title compound I (R1 = C6H5CH2; R2 = H; R3 = 3,4,5-(MeO)3C6H2; R4 = H) was prepared
IT 245095-93-6P 245096-78-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolo[1,5-a]pyrimidines as nitrogen monoxide synthase inhibitors)
RN 245095-93-6 CAPLUS
CN Benzamide, N-(5-butyl-6-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)

L8 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:246630 CAPLUS
DOCUMENT NUMBER: 128:248613
TITLE: Adenosine reinforcement agents
INVENTOR(S): Moritoki, Hideki; Iwamoto, Takeshi; Yasuda, Tsuneo
PATENT ASSIGNEE(S): Ootsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

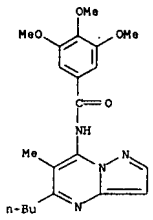
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 10101672 | A | 19980421 | JP 1997-208772 | 19970804 |
| PRIORITY APPLN. INFO.: | | | JP 1996-207171 A | 19960806 |
| OTHER SOURCE(S): | MARPAT 128:248613 | | | |

GI



AB The title compds. [I; R1 = H, lower alkoxy or alkylthio, oxo, etc.; R2 = naphthyl, cycloalkyl, (un)substituted phenoxy, etc.; R3 = H, Ph, lower alkyl; R4 = H, lower alkyl, halo, aralkyl, etc.; R5 = H, lower alkyl; R6 = H, lower alkyl, (un)substituted benzoyl, etc.; Q = CO, SO2; A = single bond, lower alkylene or alkenylene; n = 0, 1] are presented as adenosine reinforcement agents. I, possessing adenosine reinforcement activity, are useful for prevention and treatment of heart attack, myocardial and brain infarction. Ten compds. of I were tested and showed excellent adenosine reinforcement activity. Formulation containing I were also prepared
IT 174859-41-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(adenosine reinforcement agents)
RN 174859-41-7 CAPLUS
CN Benzamide, N-(5-butyl-6-methylpyrazolo[1,5-a]pyrimidin-7-yl)-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)

L8 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

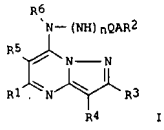


L8 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:246629 CAPLUS
DOCUMENT NUMBER: 128:248612
TITLE: Nitrogen monooxide synthase inhibitors
INVENTOR(S): Moritoki, Hideki; Iwamoto, Takeshi; Yasuda, Tsuneo
PATENT ASSIGNEE(S): Ootsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

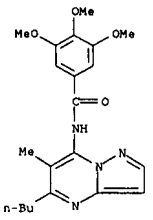
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 10101671 | A | 19980421 | JP 1997-207867 | 19970801 |
| PRIORITY APPLN. INFO.: | | | JP 1996-209465 A | 19960808 |

OTHER SOURCE(S): MARPAT 128:248612
GI



AB The title compds. [I; R1 = H, lower alkoxy or alkylthio, oxo, etc.; R2 = naphthyl, cycloalkyl, (un)substituted phenoxy, etc.; R3 = H, Ph, lower alkyl; R4 = H, lower alkyl, halo, aralkyl, etc.; R5 = H, lower alkyl; R6 = H, lower alkyl, (un)substituted benzoyl, etc.; Q = CO, SO2; A = single bond, lower alkylene or alkenylene; n = 0, 1] are presented as NO synthase inhibitors. I are useful for prevention and treatment of septicemia. 14 Compds. of I were tested and showed excellent NO synthase inhibitory activity. Formulation containing I were also prepared
IT 174859-41-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyrozolopyrimidine derivs. as nitrogen monooxide synthase inhibitors)
RN 174859-41-7 CAPLUS
CN Benzamide, N-(5-butyl-6-methylpyrazolo[1,5-a]pyrimidin-7-yl)-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)

L8 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

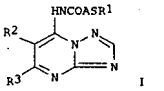


L8 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:465087 CAPLUS
DOCUMENT NUMBER: 127:81462
TITLE: Preparation of triazolopyrimidine derivatives as ACAT inhibitors
INVENTOR(S): Sato, Masakazu; Mannaka, Akira; Takahashi, Keiko; Tomizawa, Kazuyuki
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

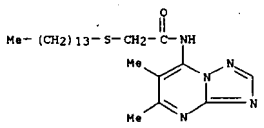
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 09169763 | A | 19970630 | JP 1995-333247 | 19951221 |
| JP 3716472 | B2 | 20051116 | | |
| PRIORITY APPLN. INFO.: | | | JP 1995-333247 | 19951221 |

OTHER SOURCE(S): MARPAT 127:81462
GI

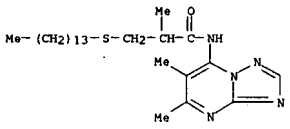


AB The title compds. (I; X = ASR1; A = C1-4 alkylene; R1 = C1-20 alkyl; R2 = H, C1-4 alkyl; R3 = Me, morpholino) are prepared I, possessing Acyl-CoA Cholesterolacyltransferase (ACAT) inhibitory activity, are useful as lipid lowering agents and arteriosclerosis remedies. Thus, Me(CH2)13SH was treated with NaH and then reacted with I (X = CMe2Br, R2 = Me, R3 = morpholino) (preparation given) to give the title compound I [X = CMe2S(CH2)13Me, R2 = Me, R3 = morpholino], which showed IC50 of 6.05 X 10-6 M against ACAT when tested with rabbits.
IT 191655-89-7P 191655-90-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triazolopyrimidine derivs. as ACAT inhibitors)
RN 191655-89-7 CAPLUS
CN Acetamide, N-(5,6-dimethyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-2-(tetradecylthio)- (9CI) (CA INDEX NAME)

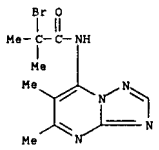
L8 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



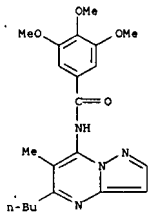
RN 191655-90-0 CAPLUS
CN Propanamide, N-(5,6-dimethyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-2-methyl-3-(tetradecylthio)- (9CI) (CA INDEX NAME)



IT 191655-98-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of triazolopyrimidine derivs. as ACAT inhibitors)
RN 191655-98-8 CAPLUS
CN Propanamide, 2-bromo-N-(5,6-dimethyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-2-methyl- (9CI) (CA INDEX NAME)



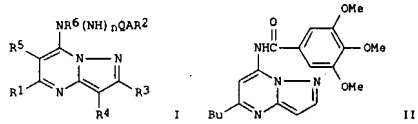
L8 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
hydrogen, Ph or lower alkyl; R4 represents hydrogen, lower alkyl, lower alkoxycarbonyl, phenyl-substituted lower alkyl, Ph or halogen; R5 represents hydrogen or lower alkyl; R6 represents hydrogen, lower alkyl, phenyl-substituted lower alkyl or benzoyl; Q represents carbonyl or sulfonyl; A represents a single bond, lower alkylene or lower alkenylene; and n represents 0 or 1] are prepd. The title compd. II (prepn. given) at 3 mg/Kg orally showed potent analgesic activity in rats.
IT 174859-41-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidine derivs. as analgesics)
RN 174859-41-7 CAPLUS
CN Benzamide, N-(5-butyl-6-methylpyrazolo[1,5-a]pyrimidin-7-yl)-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)



L8 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:196727 CAPLUS
DOCUMENT NUMBER: 124:261026
TITLE: Preparation and formulation of pyrazolopyrimidine derivatives as analgesics
INVENTOR(S): Shoji, Yasuo; Inoue, Makoto; Okamura, Takashi; Hashimoto, Kinji; Ohara, Masayuki; Yasuda, Tsuneo
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

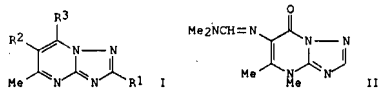
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9535298 | A1 | 19951228 | WO 1995-JP1104 | 19950605 |
| W: AU, CA, CN, KR, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| CA 2169719 | A1 | 19951228 | CA 1995-2169719 | 19950605 |
| CA 2169719 | C | 20020416 | | |
| AU 9525765 | A | 19960115 | AU 1995-25765 | 19950605 |
| AU 680370 | B2 | 19970724 | | |
| EP 714898 | A1 | 19960605 | EP 1995-920260 | 19950605 |
| EP 714898 | B1 | 20011114 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| CN 1131948 | A | 19960925 | CN 1995-190760 | 19950605 |
| CN 1046730 | B | 19991124 | | |
| JP 08311068 | A | 19961126 | JP 1995-137878 | 19950605 |
| JP 3163412 | B2 | 20010508 | | |
| JP 08310951 | A | 19961126 | JP 1995-137890 | 19950605 |
| JP 3163413 | B2 | 20010508 | | |
| AT 208776 | T | 20011115 | AT 1995-920260 | 19950605 |
| ES 2164153 | T3 | 20020216 | ES 1995-920260 | 19950605 |
| PT 714898 | T | 20020429 | PT 1995-920260 | 19950605 |
| US 5707997 | A | 19980113 | US 1996-602824 | 19960221 |
| PRIORITY APPLN. INFO.: | | | JP 1994-138635 A | 19940621 |
| | | | JP 1995-53997 A | 19950314 |
| | | | WO 1995-JP1104 W | 19950605 |

OTHER SOURCE(S): MARPAT 124:261026
GI

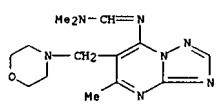


AB The title compds. I [R1 represents hydrogen, lower alkyl, cycloalkyl, thienyl, furyl, lower alkenyl or phenyl; R2 represents naphthyl, cycloalkyl, furyl, thienyl, pyridyl, phenoxy or phenyl; R3 represents

L8 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1991:101919 CAPLUS
DOCUMENT NUMBER: 114:101919
TITLE: 1,2,4-Triazolo[1,5-a]pyrimidines. Part 8. Reactions of amino- and hydrazino-1,2,4-triazolo[1,5-a]-pyrimidine derivatives with dimethylformamide dimethyl acetal
AUTHOR(S): Hempel, Ute; Lippmann, Eberhard; Tenor, Ernst
CORPORATE SOURCE: Sekt. Chem., Karl-Marx-Univ., Leipzig, DDR-7010, Ger. Dem. Rep.
SOURCE: Zeitschrift fuer Chemie (1990), 30(9), 320-1
CODEN: ZECEAL; ISSN: 0044-2402
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 114:101919
GI

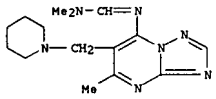


AB The preparation of amidine derivs. of Rocornal was described. The amidination
of 7-amino-1,2,4-triazolo[1,5-a]pyrimidine derivs. with Me2NCH(OMe)2 gave N,N-dimethyl-N'-(5-methyl-1,2,4-triazolo[1,5-a]pyrimid-7-yl)formamidines I (R1 = H, NHCOMe; R2 = H, piperidinomethyl, morpholinomethyl, pyrrolidinomethyl, CH2NEt2, NO2; R3 = N:CHNMe2). The reaction of I (R1 = R2 = H, R3 = N:CHNMe2) with H2NOH.HCl gave N-(5-methyl-1,2,4-triazolo[1,5-a]pyrimid-7-yl)formamidoxime. The reaction of 7-hydrazino-5-methyl-1,2,4-triazolo[1,5-a]pyrimidine with Me2NCH(OMe)2 gave only the methylated product, i.e., N,N-dimethyl-N'-(5-methyl-1,2,4-triazolo[1,5-a]pyrimid-7-yl)formamidrazone. The reaction of 6-amino-5-methyl-1,2,4-triazolo[1,5-a]pyrimid-7(4H)one with Me2NCH(OMe)2 gave the amidrazone II.
IT 122375-46-6P 122375-48-8P 122375-49-9P
122375-50-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 122375-46-6 CAPLUS
CN Methanimidamide, N,N-dimethyl-N'-[5-methyl-6-(4-morpholinylmethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

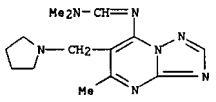


RN 122375-48-8 CAPLUS
CN Methanimidamide, N,N-dimethyl-N'-(5-methyl-6-(1-piperidinylmethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

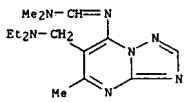
L8 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



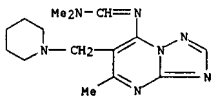
RN 122375-49-9 CAPLUS
CN Methanimidamide, N,N-dimethyl-N'-[5-methyl-6-(1-pyrrolidinylmethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



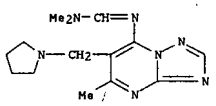
RN 122375-50-2 CAPLUS
CN Methanimidamide, N'-[6-[(diethylamino)methyl]-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



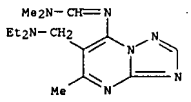
L8 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 122375-49-9 CAPLUS
CN Methanimidamide, N,N-dimethyl-N'-[5-methyl-6-(1-pyrrolidinylmethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 122375-50-2 CAPLUS
CN Methanimidamide, N'-[6-[(diethylamino)methyl]-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

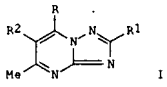


L8 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1989:515204 CAPLUS
DOCUMENT NUMBER: 111:115204
TITLE: Preparation of N,N-dimethyl-N'-(5-methyl-1,2,4-triazolo[1,5-a]pyrimid-7-yl]formamidines
INVENTOR(S): Hempel, Ute; Lippmann, Eberhard; Stopp, Helga; Tenor, Ernst; Thomas, Eckhard
PATENT ASSIGNEE(S): VEB Deutsches Hydrierwerk, Ger. Dem. Rep.
SOURCE: Ger. (East), 3 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

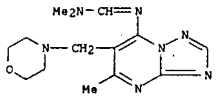
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DD 264438 | A1 | 19890201 | DD 1987-306940 | 19870914 |
| PRIORITY APPLN. INFO.: | | | DD 1987-306940 | 19870914 |

OTHER SOURCE(S): CASREACT 111:115204; MARPAT 111:115204
GI



I

AB The title compds. (I; R = N:CHNMe2; R1 = H, alkyl; R2 = H, piperidinomethyl, morpholinomethyl, pyrrolidinomethyl, CH2NEt2) were prepared by condensation of I (R = NH2) with HC(OMe)2NMe2 (II). Thus, I (R = NH2, R1 = R2 = H) was refluxed 2 h with II in PhMe to give 66% (R = N:CHNMe2, R1 = R2 = H).
IT 122375-46-6P 122375-48-8P 122375-49-9P
122375-50-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 122375-46-6 CAPLUS
CN Methanimidamide, N,N-dimethyl-N'-[5-methyl-6-(4-morpholinylmethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 122375-48-8 CAPLUS
CN Methanimidamide, N,N-dimethyl-N'-[5-methyl-6-(1-piperidinylmethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

L8 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1966:27540 CAPLUS
DOCUMENT NUMBER: 64:27540
ORIGINAL REFERENCE NO.: 64:5086g-h,5087a-h,5088a-d
TITLE: Syntheses of pyrazole derivatives. XI. Acetylation products of 7-aminopyrazolo[1,5-a]pyrimidines. Supplement
AUTHOR(S): Takamizawa, Akira; Hamashima, Yoshio
CORPORATE SOURCE: Shionogi Co., Ltd., Osaka
SOURCE: Chemical & Pharmaceutical Bulletin (1965), 13(10), 1207-20
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English

AB cf. CA 63, 5644b. The steric effect of substituents at C-6 of pyrazolopyrimidine ring on the NH2 group at C-7 was investigated. A mixture of 2 g. 7-amino-2,5-dimethylpyrazolo[1,5-a]pyrimidine, 10 ml. Ac2O, and 20 ml. pyridine was heated at 105° for 5.5 hrs. to give 1.8 g. 7-acetamido-2,5-dimethylpyrazolo[1,5-a]pyrimidine, m. 83-4°. The same reaction could be carried out with AcCl in pyridine. Similarly 500 mg. 2-methyl-5-phenyl-7-aminopyrazolo[1,5-a]pyrimidine gave 450 mg. 2-methyl-5-phenyl-7-acetamidopyrazolo[1,5-a]pyrimidine, m. 196-8°, and 5-phenyl-7-amino-2,3-dimethylpyrazolo[1,5-a]pyrimidine gave 84.8% yield of 5-phenyl-7-acetamido-2,3-dimethylpyrazolo-[1,5-a]pyrimidine, m. 165-6°. On the other hand acetylation of 500 mg. 2-phenyl-7-amino-5,6-dimethylpyrazolo[1,5-a]pyrimidine with 5 ml. Ac2O and 15 ml. pyridine at 100° 3 hrs. gave 84.7% 2-phenyl-7-diacetylamino-5,6-dimethylpyrazolo[1,5-a]pyrimidine, m. 168-9°. Mild acetylation of 500 mg. 6-phenyl-7-amino-2,3,5-trimethylpyrazolo[1,5-a]pyrimidine at 100° for 12 hrs. gave 490 mg. 6-phenyl-7-acetamido-2,3,5-trimethylpyrazolo-[1,5-a]pyrimidine, m. 228-9°, which on reacetylation at 115° for 6 hrs. gave 89.6% 6-phenyl-7-diacetylamino-2,3,5-trimethyl-pyrazolo[1,5-a]pyrimidine, m. 105°. These results indicated that 7-amino group gave a diacetate when an alkyl or aryl group was present at C-6. Compds. with electroneg. COOEt and CN groups at C-6 were examined. Thus, acetylation of 1 g. ethyl 2-methyl-7-aminopyrazolo[1,5-a]pyrimidine-6-carboxylate (I) on acetylation with 10 ml. Ac2O and 20 ml. pyridine in a sealed tube at 110° for 15 hrs. gave 338 mg. ethyl 2-methyl-7-acetylaminopyrazolo[1,5-a]pyrimidine-6-carboxylate (II), m. 169-70°, and 102 mg. ethyl 2-methyl-7-diacetylaminopyrazolo[1,5-a]pyrimidine-6-carboxylate, m. 100-3°. The diacetate on Al2O3 in CHCl3 gave II, whereas the reacetylation of II gave the diacetate. Similarly 1.5 g. ethyl 7-amino-2,3-dimethylpyrazolo[1,5-a]pyrimidine-6-carboxylate (III) gave 1.55 g. ethyl 7-diacetylamino-2,3-dimethylpyrazolo[1,5-a]pyrimidine-6-carboxylate, m. 83-5°, which on chromatography over Al2O3 in EtOAc gave ethyl 7-acetylamino-2,3-dimethylpyrazolo[1,5-a]pyrimidine (IV), m. 143-5°. Methylation of 500 mg. II with 500 mg. MeI in 10 ml. acetone in a sealed tube at 110° for 5 hrs. gave ethyl 7-acetylamino-2,4-dimethyl-4,7-dihydropyrazolo[1,5-a]pyrimidine-6-carboxylate (V), m. 143°. Similarly, 200 mg. IV gave 23 mg. ethyl 7-acetylimino-2,3,4-trimethyl-4,7-dihydropyrazolo[1,5-a]pyrimidine-6-carboxylate (VI), m. 176°. V and VI were also synthesized in another way. Methylation of 1.1 g. I with 0.71 g. MeI in 30 ml. acetone in a sealed tube at 100° for 6 hrs. gave the methiodide, m. 152° which was dissolved in H2O and neutralized with K2CO3 to give ethyl 7-imino-2,4-dimethyl-4,7-dihydropyrazolo[1,5-a]pyrimidine-6-carboxylate, m. 208°, which on acetylation at room temperature gave V identical with the above samples. Similarly, 2 g. III gave
1.42 g. hydriodide, m. 205°, which on neutralization gave ethyl

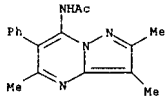
L8 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
7-imino-2,3,4-trimethyl-4,7-dihydropyrazolo[1,5-a]pyrimidine-6-carboxylate (VII), m. 228°. Its acetylation gave VI. Hydrolysis of VI and VII with 20% HCl under reflux for 24 hrs. gave the known 2,3,4-trimethylpyrazolo[1,5-a]pyrimidine-7(4H)-one. Just as methylation, ethylation of 2.2 g. I gave 564 mg. ethyl 2-methyl-4-ethyl-7-imino-4,7-dihydropyrazolo[1,5-a]pyrimidine-6-carboxylate, m. 155-6°; and 2 g. III gave ethyl 4-ethyl-7-imino-2,3-dimethyl-4,7-dihydropyrazolo[1,5-a]pyrimidine-6-carboxylate, m. 181-2°. On the other hand acetylation of 500 mg. 7-amino-2,3-dimethylpyrazolo-[1,5-a]pyrimidine-6-carbonitrile with 10 ml. pyridine and 5 ml. Ac2O at room temp. for 30 hrs. gave only the monoacetate, 7-acetamido-2,3-dimethylpyrazolo[1,5-a]pyrimidine-6-carbonitrile, m. 204-5°, which was also obtained by the acetylation at 110° for 8 hrs. An explanation was suggested to explain these results. Benzoylation was next tried. Treatment of 1 g. 7-amino-2,5-dimethylpyrazolo[1,5-a]pyrimidine with 10 ml. pyridine and 1.86 g. BzCl at 110° for 1 hr. gave 1.2 g. 7-benzamido-2,5-dimethylpyrazolo[1,5-a]pyrimidine, m. 138-9°. Similarly, 250 mg. 7-amino-3,6-dimethylpyrazolo[1,5-a]pyrimidine gave 200 mg. 7-benzamido-3,6-dimethylpyrazolo[1,5-a]pyrimidine, m. 187-8°. Similarly, other 7-acylamino compds. were prepd. Thus, a suspension of 5.7 g. 7-amino-2,3-dimethylpyrazolo[1,5-a]pyrimidine and 5 g. K2CO3 in 40 ml. dimethylformamide was treated with ClCH2COCl and the mixt. heated on a steam bath for 6 hrs. to give 1.94 g. 7-(2-chloroacetamido)-2,3-dimethylpyrazolo[1,5-a]pyrimidine (VIII), m. 175°. Replacement of ClCH2COCl by (ClCH2CO)2O and carrying out the reaction in CHCl3 gave the same result. On the other hand, the reaction of 7-amino-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine (IX) with ClCH2COCl in CHCl3 did not proceed, but on refluxing 1 g. IX with 1 g. anhydride in CHCl2 for 5 hrs. gave 960 mg. 7-(2-chloracetamido)-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 152-3°. However when 1.76 g. IX was treated with 1.13 g. ClCH2COCl in 20 ml. dimethylformamide on a steam bath for 1 hr., the product (634 mg.) was 7-(dimethylaminomethylideneamino)-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine (X), m. 119°, whose structure was proved by its spectral data. When ClCH2COCl was replaced by AcCl, 1 g. IX gave X and 7-acetamido-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine. Reaction of 1.68 g. VIII with 1.27 g. Me2NH in CHCl3 in a sealed tube at 105° for 6.5 hrs. gave 1.28 g. 7-(2-dimethylaminoacetamido)-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 168-70° which on redn. with LiAlH4 in tetrahydrofuran gave 7-(2-dimethylaminoethylamino)-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 103-4°. As expected the reaction of 7-amino-2,3-dimethylpyrazolo[1,5-a]pyrimidine gave ethyl 2,3-dimethylpyrazolo[1,5-a]pyrimidine-7-carbamate, m. 113°, with ClCO2Et; 7-(3,3-dimethylureido)-2,3-dimethyl-pyrazolo[1,5-a]pyrimidine, m. 163° with ClCONMe2; 7-(piperidinocarbonylamino)-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 126° with piperidinocarbonyl chloride; and 7-(morpholinecarbonylamino)-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 208°. IX was less reactive and on treatment with ClCO2Et gave ethyl 2,3,6-trimethylpyrazolo[1,5-a]pyrimidine-7-carbamate, m. 133-5°. 7-Alkylamino compds. were synthesized. Hydrolysis of 7-amino-2,3-dimethylpyrazolo[1,5-a]pyrimidine on hydrolysis gave 2,3-dimethylpyrazolo[1,5-a]pyrimidin-7(4H)-one, which (200 mg.) on refluxing with 10 ml. POCl3 for 3 hrs. gave 193 mg. 7-chloro-2,3-dimethylpyrazolo[1,5-a]pyrimidine (XI), m. 113°. Similarly, IX on hydrolysis gave 2,3,6-trimethylpyrazolo[1,5-a]-pyrimidin-7(4H)-one, which with POCl3 gave 86% 7-chloro-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 122°. Similarly were prepd. 7-chloro-2,3,5-trimethylpyrazolo[1,5-a]pyrimidine (XIII), m. 79°. A soln. of 615 mg. XI and 600 mg. NaOAc in 30 ml. MeOH was hydrogenated at room temp. in the presence of 500 mg. 5% Pd-C to absorb 76 ml. H within 5 min. to give 480 mg. 2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 54°, which on further

L8 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
hydrogenation under the same conditions gave 76.2% 2,3-dimethyl-4,5,6,7-tetrahydropyrazolo[1,5-a]pyrimidine, m. 141-2°. Similarly 500 mg. XII gave 350 mg. 2,3,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 110° and 72.5% yield of 2,3,6-trimethyl-4,5,6,7-tetrahydropyrazolo[1,5-a]pyrimidine, m. 169-70°; and 213 mg. XIII gave 156 mg. 2,3,5-trimethylpyrazolo[1,5-a]pyrimidine, m. 81°, hydrochloride, m. 179°. A mixt. of 300 mg. XI and excess of MeNH2 in CHCl3 was heated in a sealed tube at 150° for 8 hrs. to give 7-methylamino-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 145-6° and was also obtained by hydrogenation of 7-formamido-2,3-dimethylpyrazolo[1,5-a]pyrimidine. Similarly were prepd. 7-methylamino-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 157°; 7-methylamino-2,3,5-trimethylpyrazolo[1,5-a]pyrimidine, m. 174°; 7-dimethylamino-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 71°, hydrochloride, m. 240°; 7-dimethylamino-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 69°, hydrochloride, m. 206°; 7-dimethylamino-2,3,5-trimethylpyrazolo[1,5-a]pyrimidine, m. 84°, hydrochloride, m. 250°; 2,3,5-trimethyl-7-piperidinopyrazolo[1,5-a]pyrimidine, m. 132°, hydrochloride, m. 205°; 7-(dimethylcarbamoylmethylamino)-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 185-6°, hydrochloride, m. 248°; and 7-(dimethylcarbamoylmethylamino)-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 177°. The uv, ir, and N.M.R. spectra of all the compds. were described.

IT 4385-22-2P, Pyrazolo[1,5-a]pyrimidine, 7-acetamido-2,3,5-trimethyl-6-phenyl-
RL: PREP (Preparation)
(preparation of)

RN 4385-22-2 CAPLUS

CN Acetamide, N-(2,3,5-trimethyl-6-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-(8CI) (CA INDEX NAME)




L8 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1966:11534 CAPLUS
DOCUMENT NUMBER: 64:11534
ORIGINAL REFERENCE NO.: 64:2102f-g
TITLE: 7-Aminopyrazolo[1,5-a]pyrimidine derivatives
INVENTOR(S): Takamizawa, Akira; Hayashi, Sadao; Hamashima, Yoshio
PATENT ASSIGNEE(S): Shionogi & Co., Ltd.
SOURCE: 3 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 40018757 | B4 | 19650823 | JP | 19630907 |

PRIORITY APPLN. INFO.: JP 19630907

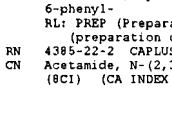
GI For diagram(s), see printed CA Issue.

AB Manufacture of I, useful as analgesics and antiinflammatory agents, was described. Thus, a solution of 500 mg. 2,3-dimethyl-7-aminopyrazolo[1,5-a]pyrimidine in 10 ml. C5H5N is heated on a steam bath 3 hrs. with 5 ml. Ac2O, the whole concentrated in vacuo, and the residue dissolved in H2O, made alkaline, and extracted with AcOEt to give 480 mg. I(R1 = R2 = Me, R3 = R4 = R5 = H, R6 = Ac), m. 135-6° (AcOEt). Similarly prepared are the following I (R1, R2, R3, R4, R5, R6, and m.p. given): H, Me, H, Me, Ac, Ac, 119-21°; H, Me, H, Me, H, Ac, 153°; Me, Me, H, Me, Ac, Ac, 137-8°; Me, Me, H, Me, H, Ac, 158-9°; Me, H, Ph, H, H, Ac, 196-8°; Me, Me, Ph, H, H, Ac, 165-6°; Me, Me, Me, Ph, H, Ac, 229-30°; Me, Me, Me, Ph, Ac, Ac, 105°.

IT 4385-22-2P, Pyrazolo[1,5-a]pyrimidine, 7-acetamido-2,3,5-trimethyl-6-phenyl-
RL: PREP (Preparation)
(preparation of)

RN 4385-22-2 CAPLUS

CN Acetamide, N-(2,3,5-trimethyl-6-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-(8CI) (CA INDEX NAME)




L8 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1964:3162 CAPLUS
DOCUMENT NUMBER: 60:3162
ORIGINAL REFERENCE NO.: 60:523e-g
TITLE: Condensed heterocycles. IV. Condensation of 3-amino-1,2,4-triazoles with diaceto- and dipropionitriles
AUTHOR(S): Levin, Ya. A.; Kukhtin, V. A.
CORPORATE SOURCE: Cine-Photo Res. Inst., Kazan
SOURCE: Zhurnal Obshchei Khimii (1963), 33(8), 2678-82
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

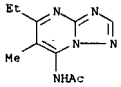
GI For diagram(s), see printed CA Issue.

AB Heating 3-amino-5-substituted 1,2,4-triazoles with substituted β-aminoacrylonitriles 30-40 min at 155-200° gave (Ia) (R, R', R'' % yield, and m.p. shown, resp.): H Me, H (I), 84, 246-7° (picrate decomposed 212-14°); Pr, Me, H, 61, 180-1°; C6H13, Me, H, 56, 128-30°; H, Et, Me (II), 72, 262-3°; Pr, Et, Me, 51, 225-6°. I refluxed with Ac2O in C5H5N gave the Ac derivative, m. 230°; similarly was prepared Ac derivative of II, m. 140°, purified on Al2O3 in C6H6. I and tosyl chloride gave 75% ptoluenesulfonamido analog, decomposed 283-5° (λ 304 mμ). Treated with Br vapors at 60° in H2O, I gave 88% 4-imino-5bromo-6-methyt-1,2,4-triazolo[2,3-a]pyrimidine, decomposed 245?° (λ 261 and 298 mμ). I and aqueous I-KI in the presence of K2CO3 at 70-80° gave 4-amino-6-methyl-5-iodo-1,2,4-triazolo[2,3-a]pyrimidine, decomposed 233-5° (λ 260 and 300 mμ). 4-Chloro-5-hexyl-6-methyl-1,2,4-triazolo[2,3-a]pyrimidine, m. 412°, formed in 82% yield from the 4-oxo analog by refluxing in POCl3 3 hrs. Treated with NH3 in EtOH at 0°, then heated 3 hrs. in an ampul at 100°, this gave 83% 4-amino-5-hexyl-6methyl-1,2,4-triazolo[2,3-a]pyrimidine, m. 230-1°, which could not be prepared by the above condensation of aminotriazole with dipropionitrile even at 230°. I and concentrated HCl in 5 hrs. at 140° in a sealed tube gave 3-amino-1,2,4-triazole, isolated as the picrate, decomposed 228-30°. Ultraviolet spectra of Ia are shown.

IT 90973-30-1P, s-Triazolo[1,5-a]pyrimidine, 7-acetamido-5-ethyl-6-methyl-
RL: PREP (Preparation)
(preparation of)

RN 90973-30-1 CAPLUS

CN s-Triazolo[1,5-a]pyrimidine, 7-acetamido-5-ethyl-6-methyl- (7CI) (CA INDEX NAME)



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L4             10 S L3

     FILE 'REGISTRY' ENTERED AT 17:19:28 ON 27 JUL 2007
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L7             20 S L5 SSS FULL

     FILE 'CAPLUS' ENTERED AT 17:20:11 ON 27 JUL 2007
L8             12 S L7

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 NEWS 18   MAY 22  CA/CAplus enhanced with IPC reclassification in Japanese
                   patents
 NEWS 19   JUN 27  CA/CAplus enhanced with pre-1967 CAS Registry Numbers
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 NEWS 22   JUL 02  LEMBASE coverage updated
 NEWS 23   JUL 02  LMEDLINE coverage updated
 NEWS 24   JUL 02  SCISEARCH enhanced with complete author names
 NEWS 25   JUL 02  CHEMCATS accession numbers revised
 NEWS 26   JUL 02  CA/CAplus enhanced with utility model patents from China
 NEWS 27   JUL 16  CAplus enhanced with French and German abstracts
 NEWS 28   JUL 18  CA/CAplus patent coverage enhanced
 NEWS 29   JUL 26  USPATFULL/USPAT2 enhanced with IPC reclassification

 NEWS EXPRESS  29 JUNE 2007:  CURRENT WINDOWS VERSION IS V8.2,
               CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 05 JULY 2007.

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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   26 JUL 2007  HIGHEST RN 943513-14-2
DICTIONARY FILE UPDATES:  26 JUL 2007  HIGHEST RN 943513-14-2
```

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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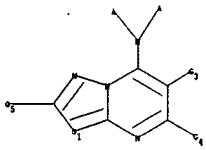

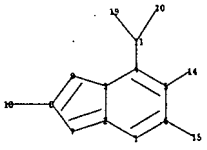

chain nodes :
11 14 15 18 19 20
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
4-11 5-14 6-15 8-18 11-19 11-20
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9

exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 4-11 5-6 5-14 6-15 7-8 8-9 8-18 11-19
11-20

G1:C,N

G2:C,O,S,N,Ak,Cy

G3:C,Cy,Ak

G4:CN,X,C,S,N,Ak,Cb,O

G5:CN,NH2,NO2,Ak,C,H,N,X,Cb

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS
14:CLASS 15:CLASS 18:CLASS 19:CLASS 20:CLASS

L1 STRUCTURE UPLOADED

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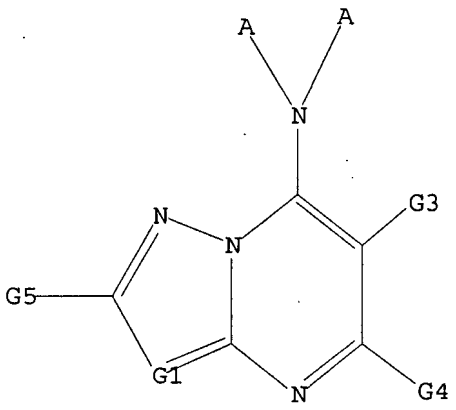
L1 HAS NO ANSWERS
L1 STR




G1 C,N

G2 C,O,S,N,Ak,Cy

G3 C,Cy,Ak

G4 CN,X,C,S,N,Ak,Cb,O

G5 CN,NH2,NO2,Ak,C,H,N,X,Cb

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 17:35:55 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1346 TO ITERATE

100.0% PROCESSED 1346 ITERATIONS 35 ANSWERS

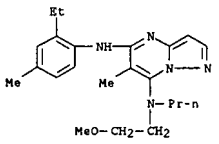
```
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        24720 TO    29120
PROJECTED ANSWERS:             346 TO     1054

L2           35 SEA SSS SAM L1

=> d scan
```

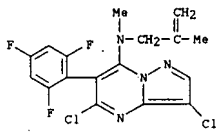
L2 35 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-(2-ethyl-4-methylphenyl)-N7-(2-methoxyethyl)-6-methyl-N7-propyl- (9CI)
MF C22 H31 N5 O



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

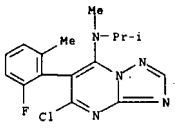
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

L2 35 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Pyrazolo[1,5-a]pyrimidin-7-amine, 3,5-dichloro-N-methyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)- (9CI)
MF C17 H13 C12 F3 N4



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L2 35 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-fluoro-6-methylphenyl)-N-methyl-N-(1-methylethyl)- (9CI)
MF C16 H17 C1 F N5



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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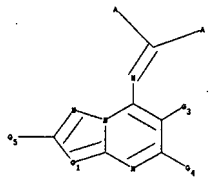
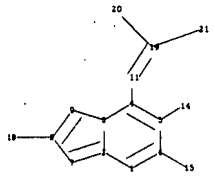




chain nodes :
11 14 15 18 19 20 21
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
4-11 5-14 6-15 8-18 11-19 19-20 19-21
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 4-11 5-6 5-14 6-15 7-8 8-9 8-18 11-19
19-20 19-21

G1:C,N

G2:C,O,S,N,Ak,Cy

G3:C,Cy,Ak

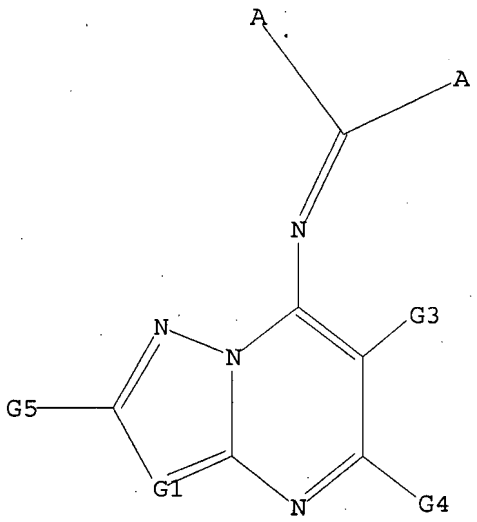
G4:CN,X,C,S,N,Ak,Cb,O

G5:CN,NH2,NO2,Ak,C,H,N,X,Cb

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS
14:CLASS 15:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS

L3 STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS
L3 STR




G1 C,N

G2 C,O,S,N,Ak,Cy

G3 C,Cy,Ak

G4 CN,X,C,S,N,Ak,Cb,O

G5 CN,NH2,NO2,Ak,C,H,N,X,Cb

Structure attributes must be viewed using STN Express query preparation.

=> s l3
SAMPLE SEARCH INITIATED 17:37:39 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 914 TO ITERATE

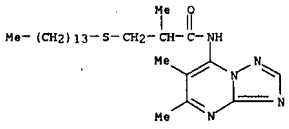
100.0% PROCESSED 914 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 16467 TO 20093
PROJECTED ANSWERS: 2 TO 124

L4 2 SEA SSS SAM L3

=> d scan

L4  2 ANSWERS  REGISTRY  COPYRIGHT 2007 ACS on STN
IN  Propanamide, N-(5,6-dimethyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-2-methyl-3-(tetradecylthio)- (9CI)
MF  C25 H43 N5 O S



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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=> s l3 full sss
FULL SEARCH INITIATED 17:38:38 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     18655 TO ITERATE

100.0% PROCESSED     18655 ITERATIONS                                  8 ANSWERS
SEARCH TIME: 00.00.01

L5             8 SEA SSS FUL L3

=> file caplus
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     174.35     174.77

FILE 'CAPLUS' ENTERED AT 17:38:48 ON 27 JUL 2007
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FILE LAST UPDATED: 26 Jul 2007  (20070726/ED)

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http://www.cas.org/infopolicy.html

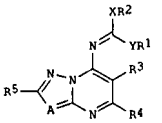
=> s l5
L6             6 L5

=> d l6 1-6 ibib abs hitstr
```

L6 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:962261 CAPLUS
DOCUMENT NUMBER: 143:266948
TITLE: Preparation of azolopyrimidines as agrochemical fungicides.
INVENTOR(S): Schwoegler, Anja; Gewehr, Markus; Mueller, Bernd; Grote, Thomas; Grammenos, Wassilios; Tormo i Blasco, Jordi; Rheinheimer, Joachim; Blettner, Carsten; Schaefer, Peter; Schieweck, Frank; Wagner, Oliver; Stierl, Reinhard; Schoefl, Ulrich; Strathmann, Siegfried; Scherer, Maria
PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

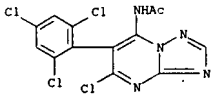
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005080396 | A2 | 20050901 | WO 2005-EP1965 | 20050224 |
| WO 2005080396 | A3 | 20051124 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1720879 | A2 | 20061115 | EP 2005-715521 | 20050224 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |

PRIORITY APPLN. INFO.: DE 2004-102004009178A 20040225
WO 2005-EP1965 W 20050224
OTHER SOURCE(S): MARPAT 143:266948
GI

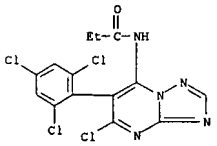


AB Title compds. [I; A = N, CR6; X, Y = bond, O, S, NR7; R1, R2 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, Ph, phenylalkyl, naphthyl, naphthylalkyl, (aromatic) heterocyclyl, heterocyclylalkyl, etc.; YR1, XR2 = H, cyano, NO2, halo, atoms to form (substituted) (heterocyclic) 5-7 membered rings, etc.; R3 = (substituted)

L6 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
alkyl, alkenyl, alkadienyl, alkynyl, cycloalkyl, cycloalkenyl, bicycloalkyl, Ph, phenylalkyl, naphthyl, (arom.) heterocyclyl, heterocyclylalkyl, etc.; R4 = halo, cyano, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, etc.; R5 = H, cyano, NO2, NH2, CH2NH2, halo, haloalkyl, alkyl, alkenyl, etc.], were prepd. Thus, a -8° mixt. of POCl3 and DMF was treated with 7-amino-5-chloro-6-(2,4,6-trifluorophenyl)triazolo[1,5-a]pyrimidine hydrochloride in DMF and Et3N to give 66% I (YR1 = NMe2; XR2, R5 = H; R3 = 2,4,6-trifluorophenyl; R4 = Cl). The latter at 250 ppm reduced incidence of Alternaria solani on tomatoes to ≤1%, vs. 100% for untreated controls.
IT 863604-57-3P 863604-58-4P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azolopyrimidines as agrochem. fungicides)
RN 863604-57-3 CAPLUS
CN Acetamide, N-[5-chloro-6-(2,4,6-trichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



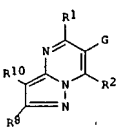
RN 863604-58-4 CAPLUS
CN Propanamide, N-[5-chloro-6-(2,4,6-trichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:391719 CAPLUS
DOCUMENT NUMBER: 136:401776
TITLE: Preparation of preventive or therapeutic medicines for diabetes containing fused-heterocycle compounds such as pyrazolopyrimidines
INVENTOR(S): Kato, Fuminori; Kimura, Hirohiko; Omatsu, Masato; Yamamoto, Kazuhiro; Miyamoto, Ryuji
PATENT ASSIGNEE(S): Ishihara Sangyo Kaisha, Ltd., Japan
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

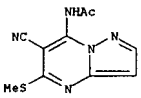
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002040485 | A1 | 20020523 | WO 2001-JP10061 | 20011116 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| JP 2002212076 | A | 20020731 | JP 2001-346339 | 20011112 |
| CA 2429067 | A1 | 20020523 | CA 2001-2429067 | 20011116 |
| AU 200215223 | A | 20020527 | AU 2002-15223 | 20011116 |
| EP 1334973 | A1 | 20030813 | EP 2001-983816 | 20011116 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| IN 2003KN00552 | A | 20050311 | IN 2003-KN552 | 20030430 |
| US 2004043998 | A1 | 20040304 | US 2003-416164 | 20030515 |
| US 7067520 | B2 | 20060627 | | |

PRIORITY APPLN. INFO.: JP 2000-351764 A 20001117
WO 2001-JP10061 W 20011116
OTHER SOURCE(S): CASREACT 136:401776; MARPAT 136:401776
GI



AB The title compds. I [G is CN, NO2, etc.; R1 is halogeno, etc.; R2 is halogeno, optionally substituted amino, etc.; and R8 and R10 are each independently hydrogen, halogeno, or alkyl] are prepared Processes for preparing I are disclosed. Compds. of this invention at 50 mg/kg orally gave

L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
statistically significant decreases of blood sugar in diabetic mice.
IT 429694-71-3P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of preventive or therapeutic medicines for diabetes containing
fused-heterocycle compds. or their salts)
RN 429694-71-3 CAPLUS
CN Acetamide, N-[6-cyano-5-(methylthio)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



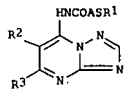
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:465087 CAPLUS
DOCUMENT NUMBER: 127:81462
TITLE: Preparation of triazolopyrimidine derivatives as ACAT inhibitors
INVENTOR(S): Sato, Masakazu; Mannaka, Akira; Takahashi, Keiko; Tomizawa, Kazuyuki
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 09169763 | A | 19970630 | JP 1995-333247 | 19951221 |
| JP 3716472 | B2 | 20051116 | | |
| PRIORITY APPLN. INFO.: | | | JP 1995-333247 | 19951221 |
| OTHER SOURCE(S): | | MARPAT 127:81462 | | |

GI



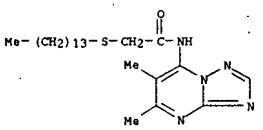
I

AB The title compds. (I; X = ASR1; A = C1-4 alkylene; R1 = C1-20 alkyl; R2 = H, C1-4 alkyl; R3 = Me, morpholino) are prepared I, possessing Acyl-CoA Cholesterolacyltransferase (ACAT) inhibitory activity, are useful as lipid lowering agents and arteriosclerosis remedies. Thus, Me(CH2)13SH was treated with NaH and then reacted with I (X = CMe2Br, R2 = Me, R3 = morpholino) (preparation given) to give the title compound I [X = CMe2S(CH2)13Me, R2 = Me, R3 = morpholino], which showed IC50 of 6.05 X 10-6 M against ACAT when tested with rabbits.

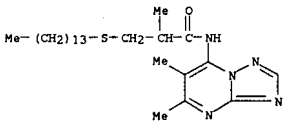
IT 191655-89-7P 191655-90-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triazolopyrimidine derivs. as ACAT inhibitors)

RN 191655-89-7 CAPLUS
CN Acetamide, N-(5,6-dimethyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-2-(tetradecylthio)- (9CI) (CA INDEX NAME)

L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

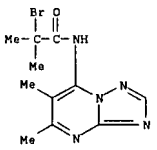


RN 191655-90-0 CAPLUS
CN Propanamide, N-(5,6-dimethyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-2-methyl-3-(tetradecylthio)- (9CI) (CA INDEX NAME)



IT 191655-98-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of triazolopyrimidine derivs. as ACAT inhibitors)

RN 191655-98-8 CAPLUS
CN Propanamide, 2-bromo-N-(5,6-dimethyl[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-2-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1966:27540 CAPLUS
DOCUMENT NUMBER: 64:27540
ORIGINAL REFERENCE NO.: 64:5086g-h,5087a-h,5088a-d
TITLE: Syntheses of pyrazole derivatives. XI. Acetylation products of 7-aminopyrazolo[1,5-a]pyrimidines. Supplement
AUTHOR(S): Takamizawa, Akira; Hamashima, Yoshio
CORPORATE SOURCE: Shionogi Co., Ltd., Osaka
SOURCE: Chemical & Pharmaceutical Bulletin (1965), 13(10), 1207-20
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English

AB cf. CA 63, 5644b. The steric effect of substituents at C-6 of pyrazolopyrimidine ring on the NH2 group at C-7 was investigated. A mixture of 2 g. 7-amino-2,5-dimethylpyrazolo[1,5-a]pyrimidine, 10 ml. Ac2O, and 20 ml. pyridine was heated at 105° for 5.5 hrs. to give 1.8 g. 7-acetamido-2,5-dimethylpyrazolo[1,5-a]pyrimidine, m. 83-4°. The same reaction could be carried out with AcCl in pyridine. Similarly 500 mg. 2-methyl-5-phenyl-7-aminopyrazolo[1,5-a]pyrimidine gave 450 mg. 2-methyl-5-phenyl-7-acetamidopyrazolo[1,5-a]pyrimidine, m. 196-8°; and 5-phenyl-7-amino-2,3-dimethylpyrazolo[1,5-a]pyrimidine gave 84.8% yield of 5-phenyl-7-acetamido-2,3-dimethylpyrazolo-[1,5-a]pyrimidine, m. 165-6°. On the other hand acetylation of 500 mg. 2-phenyl-7-amino-5,6-dimethylpyrazolo[1,5-a]pyrimidine with 5 ml. Ac2O and 15 ml. pyridine at 100° 3 hrs. gave 84.7% 2-phenyl-7-diacetylamino-5,6-dimethylpyrazolo[1,5-a]pyrimidine, m. 168-9°. Mild acetylation of 500 mg. 6-phenyl-7-amino-2,3,5-trimethylpyrazolo[1,5-a]pyrimidine at 100° for 12 hrs. gave 490 mg. 6-phenyl-7-acetamido-2,3,5-trimethylpyrazolo-[1,5-a]pyrimidine, m. 228-9°, which on reacetylation at 115° for 6 hrs. gave 89.6% 6-phenyl-7-diacetylamino-2,3,5-trimethyl-pyrazolo[1,5-a]pyrimidine, m. 105°. These results indicated that 7-amino group gave a diacetate when an alkyl or aryl group was present at C-6. Compds. with electroneg. COOEt and CN groups at C-6 were examined Thus, acetylation of 1 g. ethyl 2-methyl-7-aminopyrazolo[1,5-a]pyrimidine-6-carboxylate (I) on acetylation with 10 ml. Ac2O and 20 ml. pyridine in a sealed tube at 110° for 15 hrs. gave 338 mg. ethyl 2-methyl-7-acetylaminopyrazolo[1,5-a]pyrimidine-6-carboxylate (II), m. 169-70°, and 102 mg. ethyl 2-methyl-7-diacetylaminopyrazolo[1,5-a]pyrimidine-6-carboxylate, m. 100-3°. The diacetate on Al2O3 in CHCl3 gave II, whereas the reacetylation of II gave the diacetate. Similarly 1.5 g. ethyl 7-amino-2,3-dimethylpyrazole[1,5-a]pyrimidine-6-carboxylate (III) gave 1.55 g. ethyl 7-diacetylamino-2,3-dimethylpyrazolo[1,5-a]pyrimidine-6-carboxylate, m. 83-5°, which on chromatography over Al2O3 in EtOAc gave ethyl 7-acetylamino-2,3-dimethylpyrazolo[1,5-a]pyrimidine (IV), m. 143-5°. Methylation of 500 mg. II with 500 mg. MeI in 10 ml. acetone in a sealed tube at 110° for 5 hrs. gave ethyl 7-acetylamino-2,4-dimethyl-4,7-dihydropyrazolo[1,5-a]pyrimidine-6-carboxylate (V), m. 143°. Similarly, 200 mg. IV gave 23 mg. ethyl 7-acetylimino-2,3,4-trimethyl-4,7-dihydropyrazolo[1,5-a]pyrimidine-6-carboxylate (VI), m. 176°. V and VI were also synthesized in another way. Methylation of 1.1 g. I with 0.71 g. MeI in 30 ml. acetone in a sealed tube at 100° for 6 hrs. gave the methiodide, m. 152° which was dissolved in H2O and neutralized with K2CO3 to give ethyl 7-imino-2,4-dimethyl-4,7-dihydropyrazolo[1,5-a]pyrimidine-6-carboxylate, m. 208°, which on acetylation at room temperature gave V identical with the above samples. Similarly, 2 g. III gave 1.42 g. hydriodide, m. 205°, which on neutralization gave ethyl 7-imino-2,3,4-trimethyl-4,7-dihydropyrazolo[1,5-a]pyrimidine-6-carboxylate (VII), m. 228°. Its acetylation gave VI. Hydrolysis of VI and VII with 20% HCl under reflux for 24 hrs. gave the known 2,3,4-trimethylpyrazolo[1,5-a]pyrimidine-7(4H)-one. Just as methylation, ethylation of 2.2 g. I gave 564 mg. ethyl 2-methyl-4-ethyl-7-imino-4,7-dihydropyrazolo[1,5-a]pyrimidine-6-carboxylate, m. 155-6°; and 2 g. III gave ethyl 4-ethyl-7-imino-2,3-dimethyl-4,7-dihydropyrazolo[1,5-a]pyrimidine-6-carboxylate, m. 181-2°. On the other hand acetylation of 500 mg. 7-amino-2,3-dimethylpyrazolo-[1,5-a]pyrimidine-6-carbonitrile with 10 ml. pyridine and 5 ml. Ac2O at room temp. for 30 hrs. gave only the monoacetate, 7-acetamido-2,3-dimethylpyrazolo[1,5-a]pyrimidine-6-carbonitrile, m. 204-5°, which was also obtained by the acetylation at 110° for 8 hrs. An explanation was suggested to explain these results. Benzoylation was next tried. Treatment of 1 g. 7-amino-2,5-dimethylpyrazolo[1,5-a]pyrimidine with 10 ml. pyridine and 1.86 g. BzCl at 110° for 1 hr. gave 1.2 g. 7-benzamido-2,5-dimethylpyrazolo[1,5-a]pyrimidine, m. 138-9°. Similarly, 250 mg. 7-amino-3,6-dimethylpyrazolo[1,5-a]pyrimidine gave 200 mg. 7-benzamido-3,6-dimethylpyrazolo[1,5-a]pyrimidine, m. 187-8°. Similarly, other 7-acylamino compds. were prepd. Thus, a suspension of 5.7 g. 7-amino-2,3-dimethylpyrazolo[1,5-a]pyrimidine and 5 g. K2CO3 in 40 ml. dimethylformamide was treated with ClCH2COCl and the mixt. heated on a steam bath for 6 hrs. to give 1.94 g. 7-(2-chloroacetamido)-2,3-dimethylpyrazolo[1,5-a]pyrimidine (VIII), m. 175°. Replacement of ClCH2COCl by (ClCH2CO)2O and carrying out the reaction in CHCl3 gave the same result. On the other hand, the reaction of 7-amino-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine (IX) with ClCH2COCl in CHCl3 did not proceed, but on refluxing 1 g. IX with 1 g. anhydride in CHCl2 for 5 hrs. gave 960 mg. 7-(2-chloroacetamido)-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 152-3°. However when 1.76 g. IX was treated with 1.13 g. ClCH2COCl in 20 ml. dimethylformamide on a steam bath for 1 hr., the product (634 mg.) was 7-(dimethylaminomethylideneamino)-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine (X), m. 119°, whose structure was proved by its spectral data. When ClCH2COCl was replaced by AcCl, 1 g. IX gave X and 7-acetamido-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine. Reaction of 1.68 g. VIII with 1.27 g. Me2NH in CHCl3 in a sealed tube at 105° for 6.5 hrs. gave 1.28 g. 7-(2-dimethylaminoacetamido)-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 168-70° which on redn. with LiAlH4 in tetrahydrofuran gave 7-(2-dimethylaminoethylamino)-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 103-4°. As expected the reaction of 7-amino-2,3-dimethylpyrazolo[1,5-a]pyrimidine gave ethyl 2,3-dimethylpyrazolo[1,5-a]pyrimidine-7-carbamate, m. 113°, with ClCO2Et; 7-(3,3-dimethylureido)-2,3-dimethyl-pyrazolo[1,5-a]pyrimidine, m. 163° with ClCONMe2; 7-(piperidinocarbonylamino)-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 126° with piperidinocarbonyl chloride; and 7-(morpholinecarbonylamino)-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 208°. IX was less reactive and on treatment with ClCO2Et gave ethyl 2,3,6-trimethylpyrazolo[1,5-a]pyrimidine-7-carbamate, m. 133-5°. 7-Alkylamino compds. were synthesized. Hydrolysis of 7-amino-2,3-dimethylpyrazolo[1,5-a]pyrimidine on hydrolysis gave 2,3-dimethylpyrazolo[1,5-a]pyrimidin-7(4H)-one, which (200 mg.) on refluxing with 10 ml. POCl3 for 3 hrs. gave 193 mg. 7-chloro-2,3-dimethylpyrazolo[1,5-a]pyrimidine (XI), m. 113°. Similarly, IX on hydrolysis gave 2,3,6-trimethylpyrazolo[1,5-a]-pyrimidin-7(4H)-one, which with POCl3 gave 86% 7-chloro-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 122°. Similarly were prepd. 7-chloro-2,3,5-trimethylpyrazolo[1,5-a]pyrimidine (XIII), m. 79°. A soln. of 615 mg. XI and 600 mg. NaOAc in 30 ml. MeOH was hydrogenated at room temp. in the presence of 500 mg. 5% Pd-C to absorb 76 ml. H within 5 min. to give 480 mg. 2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 54°, which on further

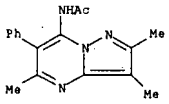
L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
hydrogenation under the same conditions gave 76.2% 2,3-dimethyl-4,5,6,7-tetrahydropyrazolo[1,5-a]pyrimidine, m. 141-2°. Similarly 500 mg. XII gave 350 mg. 2,3,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 110° and 72.5% yield of 2,3,6-trimethyl-4,5,6,7-tetrahydropyrazolo[1,5-a]pyrimidine, m. 169-70°; and 213 mg. XIII gave 156 mg. 2,3,5-trimethylpyrazolo[1,5-a]pyrimidine, m. 81°, hydrochloride, m. 179°. A mixt. of 300 mg. XI and excess of MeNH2 in CHCl3 was heated in a sealed tube at 150° for 8 hrs. to give 7-methylamino-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 145-6° and was also obtained by hydrogenation of 7-formamido-2,3-dimethylpyrazolo[1,5-a]pyrimidine. Similarly were prepd. 7-methylamino-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 157°; 7-methylamino-2,3,5-trimethylpyrazolo[1,5-a]pyrimidine, m. 174°; 7-dimethylamino-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 71°, hydrochloride, m. 240°; 7-dimethylamino-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 69°, hydrochloride, m. 206°; 7-dimethylamino-2,3,5-trimethylpyrazolo[1,5-a]pyrimidine, m. 84°, hydrochloride, m. 250°; 2,3,5-trimethyl-7-piperidinopyrazolo[1,5-a]pyrimidine, m. 132°, hydrochloride, m. 205°; 7-(dimethylcarbamoylmethylamino)-2,3-dimethylpyrazolo[1,5-a]pyrimidine, m. 185-6°, hydrochloride, m. 248°; and 7-(dimethylcarbamoylmethylamino)-2,3,6-trimethylpyrazolo[1,5-a]pyrimidine, m. 177°. The uv, ir, and N.M.R. spectra of all the compds. were described.

IT 4385-22-2P, Pyrazolo[1,5-a]pyrimidine, 7-acetamido-2,3,5-trimethyl-6-phenyl-
RL: PREP (Preparation)
(preparation of)

RN 4385-22-2 CAPLUS

CN Acetamide, N-(2,3,5-trimethyl-6-phenylpyrazolo[1,5-a]pyrimidin-7-yl)- (8CI) (CA INDEX NAME)




L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1966:11534 CAPLUS

DOCUMENT NUMBER: 64:11534

ORIGINAL REFERENCE NO.: 64:2102f-g

TITLE: 7-Aminopyrazolo[1,5-a]pyrimidine derivatives

INVENTOR(S): Takamizawa, Akira; Hayashi, Sadao; Hamashima, Yoshio

PATENT ASSIGNEE(S): Shionogi & Co., Ltd.

SOURCE: 3 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 40018757 | B4 | 19650823 | JP | 19630907 |
| PRIORITY APPLN. INFO.: | | | JP | 19630907 |

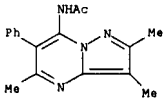
GI For diagram(s), see printed CA Issue.

AB Manufacture of I, useful as analgesics and antiinflammatory agents, was described. Thus, a solution of 500 mg. 2,3-dimethyl-7-aminopyrazolo[1,5-a]pyrimidine in 10 ml. C5H5N is heated on a steam bath 3 hrs. with 5 ml. Ac2O, the whole concentrated in vacuo, and the residue dissolved in H2O, made alkaline, and extracted with AcOEt to give 480 mg. I(R1 = R2 = Me, R3 = R4 = R5 = H, R6 = Ac), m. 135-6° (AcOEt). Similarly prepared are the following I (R1, R2, R3, R4, R5, R6, and m.p. given): H, Me, H, Me, Ac, Ac, 119-21°; H, Me, H, Me, H, Ac, 153° Me, Me, H, Me, Ac, Ac, 137-8°; Me, Me, H, Me, H, Ac, 158-9°; Me, H, Ph, H, H, Ac, 196-8°; Me, Me, Ph, H, H, Ac, 165-6°; Me, Me, Me, Ph, H, Ac, 229-30°; Me, Me, Me, Ph, Ac, Ac, 105°.

IT 4385-22-2P, Pyrazolo[1,5-a]pyrimidine, 7-acetamido-2,3,5-trimethyl-6-phenyl-
RL: PREP (Preparation)
(preparation of)

RN 4385-22-2 CAPLUS

CN Acetamide, N-(2,3,5-trimethyl-6-phenylpyrazolo[1,5-a]pyrimidin-7-yl)- (8CI) (CA INDEX NAME)




L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1964:3162 CAPLUS

DOCUMENT NUMBER: 60:3162

ORIGINAL REFERENCE NO.: 60:523e-g

TITLE: Condensed heterocycles. IV. Condensation of 3-amino-1,2,4-triazoles with diaceto- and dipropionitriles

AUTHOR(S): Levin, Ya. A.; Kukhtin, V. A.

CORPORATE SOURCE: Cine-Photo Res. Inst., Kazan

SOURCE: Zhurnal Obshchei Khimii (1963), 33(8), 2678-82
CODEN: ZOKHA4; ISSN: 0044-460X

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

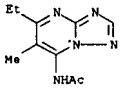
GI For diagram(s), see printed CA Issue.

AB Heating 3-amino-5-substituted 1,2,4-triazoles with substituted β-aminoacrylonitriles 30-40 min at 155-200° gave (Ia) (R, R', R'' % yield, and m.p. shown, resp.): H Me, H (I), 84, 246-7° (picrate decomposed 212-14°); Pr, Me, H, 61, 180-1°; C6H13, Me, H, 56, 128-30°; H, Et, Me (II), 72, 262-3°; Pr, Et, Me, 51, 225-6°. I refluxed with Ac2O in C5H5N gave the Ac derivative, m. 230°; similarly was prepared Ac derivative of II, m. 1402°, purified on Al2O3 in C6H6. I and tosyl chloride gave 75% ptoluenesulfonamido analog, decomposed 283-5° (λ 304 mμ). Treated with Br vapors at 60° in H2O, I gave 88% 4-imino-5bromo-6-methyt-1,2,4-triazolo[2,3-a]pyrimidine, decomposed 2457° (λ 261 and 298 mμ). I and aqueous I-KI in the presence of K2CO3 at 70-80° gave 4-amino-6-methyl-5-iodo-1,2,4-triazolo[2,3-a]pyrimidine, decomposed 233-5° (λ 260 and 300 mμ). 4-Chloro-5-hexyl-6-methyl-1,2,4-triazolo[2,3-a]pyrimidine, m. 412°, formed in 82% yield from the 4-oxo analog by refluxing in POCl3 3 hrs. Treated with NH3 in EtOH at 0°, then heated 3 hrs. in an ampul at 100°, this gave 83% 4-amino-5-hexyl-6methyl-1,2,4-triazolo[2,3-a]pyrimidine, m. 230-1°, which could not be prepared by the above condensation of aminotriazole with dipropionitrile even at 230°. I and concentrated HCl in 5 hrs. at 140° in a sealed tube gave 3-amino-1,2,4-triazole, isolated as the picrate, decomposed 228-30°. Ultraviolet spectra of Ia are shown.

IT 90973-30-1P, s-Triazolo[1,5-a]pyrimidine, 7-acetamido-5-ethyl-6-methyl-
RL: PREP (Preparation)
(preparation of)

RN 90973-30-1 CAPLUS

CN s-Triazolo[1,5-a]pyrimidine, 7-acetamido-5-ethyl-6-methyl- (7CI) (CA INDEX NAME)



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L3              STRUCTURE UPLOADED
L4            2 S L3
L5            8 S L3 FULL SSS

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L6            6 S L5

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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